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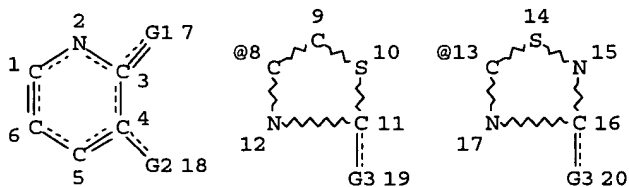
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L21 STR



VAR G1=O/S

VAR G2=8/13

VAR G3=N/AK/CY

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

L23 453 SEA FILE=REGISTRY SSS FUL L21

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453 ANSWERS

SEARCH TIME: 00.00.01

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FILE LAST UPDATED: 14 Aug 2006 (20060814/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L26 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:589549 HCAPLUS

DN 141:140450

TI Preparation of 2-oxopyridin-3-yl thia(di)azoles as Cdk2 and Cdk5 kinase inhibitors for the treatment of cell proliferation-related disorders

IN Zhong, Wenge; Norman, Mark Henry; Kaller, Matthew; Nguyen, Thomas; Rzasa, Robert Michael; Tegley, Christopher; Wang, Hui-Ling

PA Amgen Inc., USA

SO PCT Int. Appl., 317 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2004060890	A1	20040722	2003WO-US41388	20031222 <--
	WO2004060890	C1	20050818		
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	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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	AU2003299980	A1	20040729	2003AU-0299980	20031222 <--
	EP---1575947	A1	20050921	2003EP-0800245	20031222 <--
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	JP2006514059	T2	20060427	2004JP-0565746	20031222 <--
PRAI	2002US-436787P	P	20021227	<--	
	2003US-0736289	A	20031212	<--	
	2003WO-US41388	W	20031222	<--	
OS	MARPAT 141:140450				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A = O or S; Q = NH2 and derivs., NHC(:O)H, alkyl-OH and derivs., (un)substituted monocyclic or bicyclic, etc; W = (un)substituted 1,3-thiazolyl, 1,2,4-thiadiazolyl; R1, R2, R3 =

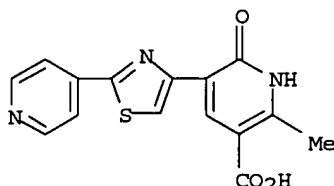
independently H, halo, aryl, alk(en/yn)yl, perfluoroalkyl, NO₂, heterocyclyl, NH₂ and derivs., etc.; R₁CCR₂ or R₂CCR₃ = 5-10 membered (un)saturated carbocyclic or heterocyclic and derivs.; with provisos; and pharmaceutically acceptable salts thereof] are disclosed as serine/threonine kinase inhibitors for effective treatment of cell proliferation or apoptosis-mediated diseases (no data). The invention encompasses I and pharmaceutically acceptable derivs. thereof, pharmaceutical compns., and methods for prophylaxis and treatment of diseases and other maladies or conditions involving stroke, cancer, and the like (no data). For example, II was prepared by cyclization of bromoacetylpyridinone (III) (preparation given) with 2-(2-thienylsulfonyl)ethanethioamide in EtOH under microwave conditions at 150° for 5 min. II exhibited Cdk2/cyclin and Cdk5/p25 kinase activity with IC₅₀ values < 0.5 μM and inhibited cell proliferation of human PC-3 prostate cells, HCT 116 human colon carcinoma cells, or HT 29 human colon carcinoma cells with IC₅₀ < 1 μM.

IT 727383-80-4P, 2-Methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid trifluoroacetate
 RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)
 RN 727383-80-4 HCAPLUS
 CN 3-Pyridinecarboxylic acid, 1,6-dihydro-2-methyl-6-oxo-5-[2-(4-pyridinyl)-4-thiazolyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 727383-79-1

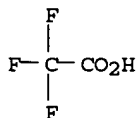
CMF C15 H11 N3 O3 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 727383-80-4P, 2-Methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid trifluoroacetate
 RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT 727382-46-9P, Ethyl 2-ethyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydropyridine 3-carboxylate 727382-58-3P, Ethyl 2-isopropyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-61-8P, Ethyl 2-isopropyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-78-7P 727383-04-2P, Ethyl 5-[2-(2-chloro-4-pyridinyl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-27-9P, Ethyl 5-[2-[2-(4-Methoxybenzylamino)pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-30-4P, Ethyl 2-methyl-5-[2-(methylamino)-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-52-3P, 2-(Isopropyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylic acid 727383-77-9P, 1,1-Dimethylethyl 2-methyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-89-3P, 5-Hydroxymethyl-6-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-52-3P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid (2-hydroxyethyl)amide 727384-54-5P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid (2-hydroxypropyl)amide 727384-61-4P, 2-(2-Benzoyloxyethyl)-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid ethyl ester 727384-65-8P, 2-(2-Hydroxyethyl)-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid ethyl ester
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT 727382-48-1P 727382-49-2P, Ethyl 2-ethyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-50-5P, Ethyl 2-ethyl-6-oxo-5-[2-(benzodioxol-5-yl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-51-6P, Ethyl 6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-(trifluoromethyl)-1,6-dihydro-3-pyridinecarboxylate 727382-53-8P, Ethyl 2-trifluoromethyl-6-oxo-5-[2-(3-chloro-4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-55-0P, Ethyl 6-oxo-5-[2-[(2-pyridylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-(trifluoromethyl)-1,6-dihydro-3-pyridinecarboxylate 727382-56-1P, Ethyl 6-oxo-5-[2-[(2-thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-(trifluoromethyl)-1,6-dihydro-3-pyridinecarboxylate 727382-57-2P, Ethyl 2-trifluoromethyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-60-7P, Ethyl 2-isopropyl-6-oxo-5-[2-[(2-thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-62-9P, Ethyl 2-propyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-65-2P, Ethyl 2-propyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-66-3P, Ethyl 2-propyl-6-oxo-5-[2-[(2-thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-67-4P, Ethyl 6-oxo-2-[(phenylmethoxy)methyl]-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-71-0P, Ethyl 6-oxo-2-[(phenylmethoxy)methyl]-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-72-1P 727382-74-3P, 3-[2-(Pyridin-4-yl)-1,3-thiazol-4-yl]-1,7,8-trihydro-5H-pyrano[4,3-b]pyridin-2-one 727382-76-5P 727382-79-8P, 3-[2-(Pyridin-4-yl)-1,3-thiazol-4-yl]-1,5,6,7,8-pentahydropyridino[3,2-c]pyridin-2-one dihydrochloride 727382-80-1P, Ethyl 2-[[[(4-methoxyphenyl)methoxy)methyl]-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-85-6P, Ethyl 2-methyl-6-oxo-5-[2-[(2-thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-89-0P, Ethyl 5-[2-[[[(4-fluorophenyl)methyl]sulfonyl)methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727382-90-3P, Ethyl 2-methyl-6-oxo-5-[2-(2-thienyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-

pyridinecarboxylate 727382-92-5P, Ethyl 2-methyl-6-oxo-5-[2-(phenylthiomethyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-93-6P, Ethyl 5-[2-(2-ethyl-4-pyridinyl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727382-94-7P, Ethyl 2-methyl-6-oxo-5-[2-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-95-8P, Ethyl 2-methyl-6-oxo-5-[2-(3-thienyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-96-9P, Ethyl 5-[2-(2H-benzo[d]-1,3-dioxolan-5-yl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727382-97-0P, Ethyl 2-methyl-6-oxo-5-(2-phenyl-1,3-thiazol-4-yl)-1,6-dihydro-3-pyridinecarboxylate 727382-98-1P, Ethyl 2-methyl-6-oxo-5-[2-(4-fluorophenyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-99-2P, Ethyl 5-[2-(2,6-dichlorophenyl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-00-8P, Ethyl 2-methyl-5-[2-(2-methyl-1,3-thiazol-4-yl)-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-01-9P, Ethyl 5-[2-[[[furan-2-ylmethyl]sulfonyl]methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-02-0P, Ethyl 5-[2-[[[tert-butyl]sulfonyl]methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-03-1P, Ethyl 2-methyl-6-oxo-5-[2-(3-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-06-4P, Ethyl 2-methyl-6-oxo-5-[2-(4-methoxyphenyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-07-5P, Ethyl 5-[2-(3,5-dichloropyridin-4-yl)thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-08-6P, Ethyl 5-[2-[(methylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-09-7P, Ethyl 5-[2-[3-[[[4-chlorophenyl]sulfonyl]methyl]-2-thienyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-10-0P, Ethyl 2-methyl-6-oxo-5-[2-[2-(1-piperidinyl)-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-11-1P, Ethyl 2-methyl-5-[2-[2-[(2-methylpropyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-12-2P, Ethyl 2-methyl-6-oxo-5-[2-[2-[(3-pyridinylmethyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-13-3P, Ethyl 2-methyl-6-oxo-5-[2-[2-[(phenylmethyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-14-4P, Ethyl 2-methyl-6-oxo-5-[2-[2-[2-oxo-3-(trifluoromethyl)-1(2H)-pyridinyl]ethyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-15-5P, Ethyl 5-[2-[2-[[2-(diethylamino)ethyl]amino]-4-pyridinyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-16-6P, Ethyl 5-[2-[2-[[furan-2-ylmethyl]amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-17-7P, Ethyl 5-[2-[2-[[2-(thien-2-yl)ethyl]amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-18-8P, Ethyl 5-[2-[2-(4-fluorobenzylamino)pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-19-9P, Ethyl 5-[2-(2-butylaminopyridin-4-yl)thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-20-2P, Ethyl 5-[2-[2-[[[carbamoylmethyl]amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-21-3P, Ethyl 5-[2-[2-[2-(acetylamino)ethylamino]pyridin-4-yl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-22-4P, N-[2-[[4-[4-(6-Methyl-2-oxo-1,2-dihydropyridin-3-yl)-1,3-thiazol-2-yl]pyridin-2-yl]amino]ethyl]acetamide 727383-23-5P, N-(Cyclopropylmethyl)-5-[2-[2-[(cyclopropylmethyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxamide hydrochloride 727383-24-6P, Ethyl 5-[2-[2-[[[cyclopropylmethyl]amino]pyridin-4-yl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-25-7P, Ethyl 5-[2-[2-[[[Cyclopentylmethyl]amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-26-8P, 5-[2-[2-[[4-(Methoxybenzyl)amino]pyridin-4-yl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid

N-(4-methoxybenzyl)amide hydrochloride 727383-28-0P, Ethyl
 2-methyl-6-oxo-5-[2-[2-(amino)-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-
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 5-Phenoxy-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone
 727383-38-2P, 5-Phenoxy-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-
 pyridinone hydrochloride (1/3) 727383-39-3P,
 6-Methyl-3-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1H-pyridin-2-one
 727383-40-6P, Ethyl 2-(1-methylethyl)-5-[2-(2-methoxy-4-pyridinyl)-
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 Ethyl 2-methyl-5-[2-[2-(methylamino)-4-pyridinyl]-1,3-thiazol-4-yl]-6-oxo-
 1,6-dihydro-3-pyridinecarboxylate 727383-58-6P,
 5-Amino-6-ethyl-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone
 727383-65-5P, N-[2-Ethyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-
 1,6-dihydropyridin-3-yl]acetamide 727383-66-6P,
 4-Dimethylamino-6-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-
 2-one 727383-68-8P, 6-Methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-
 yl]-5,6,7,8-tetrahydro-1H-[1,6]naphthyridin-2-one 727383-69-9P,
 2-Methyl-6-oxo-N-(2-pyridinylmethyl)-5-[2-[2-[(2-pyridinyl)methyl]amino]-
 4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxamide
 727383-70-2P, 6-Methyl-3-[2-[2-[(2-pyridinylmethyl)amino]-4-
 pyridinyl]-1,3-thiazol-4-yl]-2(1H)-pyridinone 727383-71-3P,
 Ethyl 2-methyl-6-oxo-5-[2-[2-[(2-pyridinylmethyl)amino]-4-pyridinyl]-1,3-
 thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-72-4P,
 Ethyl 2-methyl-6-oxo-5-[2-[2-[(2-(phenyloxy)ethyl)amino]-4-pyridinyl]-1,3-
 thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-73-5P,
 5-[2-[2-(Ethoxy)-4-pyridinyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-
 dihydropyridine-3-carboxylic acid 727383-75-7P, Ethyl
 5-[2-(2-dimethylaminopyridin-4-yl)-1,3-thiazol-4-yl]-2-isopropyl-6-oxo-1,6-
 dihydro-3-pyridinecarboxylate 727383-76-8P, Ethyl
 5-[2-(2-methylaminopyridin-4-yl)-1,3-thiazol-4-yl]-2-isopropyl-6-oxo-1,6-
 dihydro-3-pyridinecarboxylate hydrochloride 727383-79-1P,
 2-Methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-
 carboxylic acid 727383-81-5P, 6-Methyl-5-[(4-methyl-1-
 piperazinyl)carbonyl]-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-
 pyridinone 727383-82-6P, 2-(Pyrrolidin-1-yl)ethyl
 2-methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-
 carboxylate 727383-84-8P, 2-(Pyrrolidin-1-yl)ethyl
 2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-
 carboxylate 727383-85-9P, 6-Ethyl-3-[2-(pyridin-4-yl)-1,3-
 thiazol-4-yl]-1H-pyridin-2-one 727383-86-0P,
 6-Isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one
 727383-87-1P, 3-(Diethylamino)propyl 2-ethyl-6-oxo-5-[2-(4-
 pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate
 727383-88-2P, 3-(Diethylamino)propyl 2-(1-methylethyl)-6-oxo-5-[2-

(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate
727383-91-7P, 5-[(3,6-Dihydro-2H-pyridin-1-yl)methyl]-6-methyl-3-
[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-94-0P
, 6-Ethyl-5-[(piperidin-1-yl)methyl]-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-
1H-pyridin-2-one hydrochloride 727383-96-2P,
6-Ethyl-5-(4-methylpiperazin-1-ylmethyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-
yl]-1H-pyridin-2-one hydrochloride 727383-98-4P,
6-Ethyl-5-isobutylamino-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-
one 727384-01-2P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-
thiazol-4-yl]-1,6-dihydro-pyridin-3-yl]isobutyramide 727384-03-4P
, 6-Isopropyl-5-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-
one 727384-06-7P, 3-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-6-
isopropyl-5-methyl-1H-pyridin-2-one 727384-08-9P,
6-Ethyl-5-propionyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one
727384-10-3P, 3-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-6-ethyl-5-
propionyl-1H-pyridin-2-one 727384-11-4P, 2-Isopropyl-6-oxo-5-[2-
(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid
2-dimethylaminoethyl ester 727384-13-6P, 2-Isopropyl-6-oxo-5-[2-
(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid
2-(pyrrolidin-1-yl)ethyl ester 727384-14-7P,
2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-
dihydropyridine-3-carboxylic acid 2-(2-oxopyrrolidin-1-yl)ethyl ester
727384-15-8P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-
yl]-1,6-dihydropyridine-3-carboxylic acid 2-diisopropylaminoethyl ester
727384-16-9P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-
yl]-1,6-dihydropyridine-3-carboxylic acid 2-diethylaminoethyl ester
727384-17-0P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-
yl]-1,6-dihydropyridine-3-carboxylic acid 1-methylpyrrolidin-3-yl ester
727384-18-1P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-
yl]-1,6-dihydropyridine-3-carboxylic acid 1-ethylpyrrolidin-3-yl ester
727384-19-2P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-
yl]-1,6-dihydropyridine-3-carboxylic acid 1-ethylpiperidin-3-yl ester
727384-20-5P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-
yl]-1,6-dihydropyridine-3-carboxylic acid piperidin-4-ylmethyl ester
727384-22-7P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-
yl]-1,6-dihydropyridine-3-carboxylic acid 2-(1-methylpyrrolidin-2-yl)ethyl
ester 727384-23-8P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-
thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-methylpiperidin-3-yl
ester 727384-24-9P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-
thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-dimethylamino-1-
methylethyl ester 727384-25-0P, 2-Isopropyl-6-oxo-5-[2-(pyridin-
4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid
2-diethylamino-1-methylethyl ester 727384-26-1P,
2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-
dihydropyridine-3-carboxylic acid 2-[(benzyl)(methyl)amino]ethyl ester
727384-27-2P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-
yl]-1,6-dihydropyridine-3-carboxylic acid 1-methylpiperidin-4-yl ester
727384-28-3P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-
yl]-1,6-dihydropyridine-3-carboxylic acid 2-(piperazin-1-yl)ethyl ester
727384-29-4P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-
yl]-1,6-dihydropyridine-3-carboxylic acid 2-(2-oxopyrrolidin-1-yl)propyl
ester 727384-30-7P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-
thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid phenethyl ester
727384-32-9P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-
yl]-1,6-dihydropyridine-3-carboxylic acid 2-(thiophen-2-yl)ethyl ester
727384-33-0P, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-
isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 2-diethylaminoethyl
ester 727384-36-3P, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-
isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 2-diethylamino-1-
methylethyl ester 727384-37-4P, 5-[2-
(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-
3-carboxylic acid 2-diethylaminopropyl ester 727384-38-5P,
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727384-39-6P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-
yl]-1,6-dihydropyridine-3-carboxylic acid 2-(morpholin-4-yl)ethyl ester

727384-40-9P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(piperidin-1-yl)ethyl ester
727384-41-0P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid methyl ester
727384-42-1P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid methyl ester trifluoroacetate
727384-43-2P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid propyl ester
727384-44-3P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid propyl ester trifluoroacetate
727384-45-4P

, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid butyl ester 727384-46-5P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid butyl ester trifluoroacetate 727384-47-6P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid isobutyl ester 727384-48-7P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid isobutyl ester trifluoroacetate 727384-49-8P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid sec-butyl ester 727384-50-1P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid sec-butyl ester trifluoroacetate 727384-55-6P, 5-(4,5-Dihydrooxazol-2-yl)-6-isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-56-7P, 6-Isopropyl-5-(5-methyl-4,5-dihydrooxazol-2-yl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-57-8P, 5-[[2-Dimethylaminoethyl] (ethyl) amino]methyl]-6-ethyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-59-0P, 5-[[2-Diethylaminoethyl] (methyl) amino]methyl]-6-ethyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-66-9P, 6-Oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-2-[2-(pyrrolidin-1-yl)ethyl]-1,6-dihydropyridine-3-carboxylic acid ethyl ester 727384-68-1P, 2-Isopropyl-N-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxamide 727384-69-2P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid amide 727384-70-5P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid isobutylamide 727384-72-7P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid methylamide 727384-73-8P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid (2-isopropylaminoethyl)amide 727384-74-9P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid dimethylamide 727384-75-0P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid N-(pyridin-4-ylmethyl)amide 727384-76-1P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid N-(pyridin-2-ylmethyl)amide 727384-78-3P, 5-(Furan-2-yl)-6-isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-83-0P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-2-methylaminoacetamide 727384-84-1P, 2-Dimethylamino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]acetamide 727384-85-2P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-3-(piperidin-1-yl)propionamide 727384-86-3P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-3-methylbutyramide 727384-87-4P, 2-Amino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]acetamide 727384-88-5P, 2-tert-Butylamino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]acetamide 727384-89-6P, (S)-2-Amino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-3-methylbutyramide 727384-90-9P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-2-(piperidin-1-yl)acetamide 727384-92-1P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-4-(piperidin-1-yl)butyramide

727384-93-2P, 5-(1,1-Dioxidoisothiazolidin-2-yl)-6-ethyl-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone 727384-94-3P, 6-Ethyl-5-(3-methylbutylamino)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-95-4P, Ethyl 5-[2-[2-[(fur-2-ylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727384-96-5P, Ethyl 5-[2-[2-[[2-(thien-2-yl)ethyl]amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727384-97-6P, Ethyl 5-[2-(2-butylaminopyridin-4-yl)thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727384-98-7P, Ethyl 5-[2-[2-[(carbamoylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727384-99-8P, Ethyl 5-[2-(2-acetylaminooethylamino)pyridin-4-yl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727385-00-4P, 5-[2-[2-[(Cyclopropylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid N-(cyclopropylmethyl)amide 727385-02-6P, Ethyl 5-[2-[2-[(cyclopropylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727385-03-7P, Ethyl 5-[2-[2-[(Cyclopentylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727385-04-8P, 5-[2-[2-(4-Methoxybenzylamino)pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 4-methoxybenzylamide 727385-06-0P, Ethyl 5-[2-(2-methylaminopyridin-4-yl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727385-07-1P, Ethyl 2-methyl-5-[2-[2-[[2-[(1-methylethyl)amino]ethyl]amino]-4-pyridinyl]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydropyridine-3-carboxylate 727385-08-2P, Ethyl 2-isopropyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate hydrobromide (3/5)
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT

727383-61-1P, Ethyl 2-ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-62-2P, 2-Ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylic acid 727383-63-3P, [2-Ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]carbamic acid tert-butyl ester 727383-64-4P, 5-Amino-6-ethyl-1-(4-methoxybenzyl)-3-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-90-6P, 5-[(Imidazol-1-yl)carbonyl]-6-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-92-8P, 6-Ethyl-5-hydroxymethyl-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-93-9P, 6-Ethyl-1-(4-methoxybenzyl)-5-[(piperidin-1-yl)methyl]-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-95-1P, 6-Ethyl-1-(4-methoxybenzyl)-5-[(4-methylpiperazin-1-yl)methyl]-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-00-1P, 6-Ethyl-5-isobutylamino-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-02-3P, N-[2-Ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridin-3-yl]isobutyramide 727384-12-5P, 5-[(Imidazol-1-yl)carbonyl]-6-isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-21-6P 727384-34-1P, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydro-3-pyridinecarboxylic acid 727384-35-2P, 3-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-5-[(imidazol-1-yl)carbonyl]-6-isopropyl-1H-pyridin-2-one 727384-58-9P, 5-[[2-(Dimethylaminoethyl)(ethyl)amino]methyl]-6-ethyl-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-60-3P, 5-[[2-Diethylaminoethyl)(methyl)amino]methyl]-6-ethyl-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)
 IT 727383-74-6, 5-[2-(2-Chloropyridin-4-yl)thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 727384-67-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

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TI Preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurological disorders and apoptosis

IN Norman, Mark; Wang, Hui-ling; Rzasa, Robert;
 Zhong, Wenge; Nguyen, Thomas; Kaller, Matthew

PA Amgen Inc., USA

SO PCT Int. Appl., 490 pp.

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DT Patent

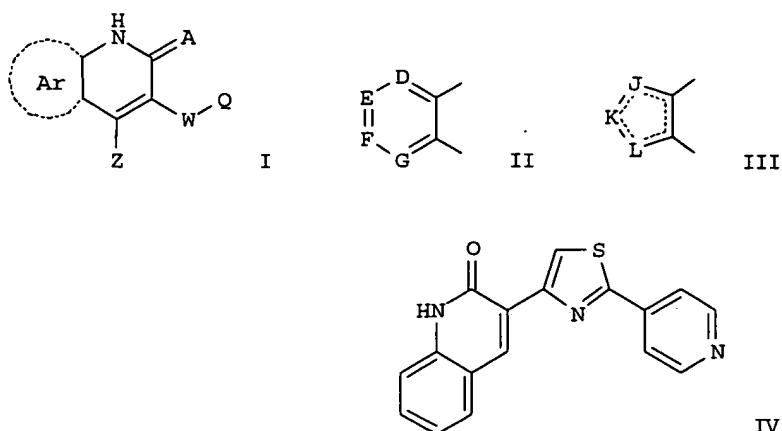
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	2003WO-US03762	W	20030207		

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GI



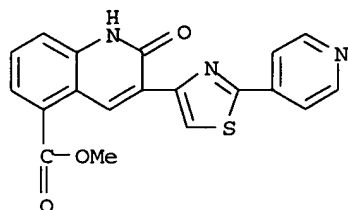
AB The title compds. [I; Ar = II or III; A = O, S, NH; D = CR₁, N; E = CR₂, N; F = CR₃, N; G = CR₄, N; J = NR₆, S, O, CR₁; K = NR₆, S, O, CR₂; L = NR₆, S, O, CR₃; Q = OH, (un)substituted NH, aryl, etc.; W = (un)substituted monocyclic (non)aromatic heterocyclic ring; Z = H, (un)substituted NH₂, SH, OH, etc.; R₁-R₄ = H, halo, aryl, etc.; R₆ = H, alkyl, a lone pair electrons] and their pharmaceutically acceptable salts, useful for prophylaxis and treatment of diseases and other maladies or conditions involving stroke, cancer and the like, were prepared E.g., a 4-step synthesis of IV (starting from thioisonicotinamide and Me 4-chloroacetoacetate) which showed IC₅₀ of < 1 μM against cdk2/cyclin kinase and against cdk5/p25, was given. A pharmaceutical composition comprising compound I was claimed.

IT 578017-64-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

RN 578017-64-8 HCAPLUS

CN 5-Quinolinecarboxylic acid, 1,2-dihydro-2-oxo-3-[2-(4-pyridinyl)-4-thiazolyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 578017-64-8P 578017-68-2P 578017-70-6P

578017-96-6P 578018-16-3P 578018-20-9P

578018-25-4P 578018-29-8P 578018-34-5P

578018-44-7P 578018-57-2P 578018-62-9P

578018-82-3P 578018-85-6P 578018-94-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

IT 209974-99-2P 578017-57-9P 578017-58-0P

578017-59-1P 578017-60-4P 578017-61-5P

578017-62-6P 578017-63-7P 578017-65-9P
578017-66-0P 578017-67-1P 578017-69-3P
578017-71-7P 578017-72-8P 578017-73-9P
578017-74-0P 578017-75-1P 578017-76-2P
578017-77-3P 578017-78-4P 578017-79-5P
578017-80-8P 578017-81-9P 578017-82-0P
578017-83-1P 578017-84-2P 578017-85-3P
578017-87-5P 578017-89-7P 578017-90-0P
578017-92-2P 578017-94-4P 578017-95-5P
578017-97-7P 578017-98-8P 578017-99-9P
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578019-46-2P 578019-47-3P 578019-48-4P
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578019-55-3P 578019-56-4P 578019-57-5P
578019-58-6P 578019-59-7P 578019-60-0P
578020-21-0P 578020-22-1P 578020-24-3P
578020-26-5P 578020-27-6P 578020-28-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of thiazolyl substituted quinolinones for treating cell
proliferative disorders, neurol. disorders and apoptosis)

IT 578020-18-5 578020-20-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

IT 578020-04-9P 578020-08-3P 578020-09-4P

578020-11-8P 578020-12-9P 578020-13-0P

578020-14-1P 578020-15-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

=> d bib abs hitstr retable 128 tot

L28 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:610450 HCAPLUS

DN 139:164813

TI Preparation of imidazo[1,2-a]pyridine derivatives as antifungal agents

IN Takemura, Makoto; Takahashi, Hisashi; Kawakami, Katsuhiko; Takeshita, Hiroshi; Kimura, Youichi; Watanabe, Jun; Sugimoto, Yuichi; Kitamura, Akihiro; Nakajima, Ryohei; Kanai, Kazuo; Fujisawa, Tetsunori

PA Daiichi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 309 pp.

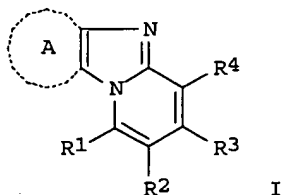
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

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PI	WO2003064422	A1	20030807	2003WO-JP00912	20030130 <--	
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	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
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	EP---1479681	A1	20041124	2003EP-0734891	20030130 <--	
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	US2005113397	A1	20050526	2003US-0502971	20030130 <--	
	CN---1633434	A	20050629	2003CN-0806794	20030130 <--	
	NO2004003211	A	20041027	2004NO-0003211	20040728 <--	
PRAI	2002JP-0022767	A	20020131	<--		
	2003WO-JP00912	W	20030130			
	2003WO-JP00913	A	20030130			
OS	MARPAT 139:164813					
GI						



AB The title compds. (I), salts thereof, or solvates of either [wherein the

ring A = (un)substituted benzene ring or 5- or 6-membered heteroaryl containing 1-3 heteroatoms selected from N, O, and S; R₁ = H, halo, each (un)protected NH₂, HO, or SH, NO₂, cyano, CHO, CO₂H, each (un)substituted CONH₂, NH₂, C1-10 alkyl, C1-10 alkylamino, C1-10 alkoxy, C1-10 alkylthio, C2-6 acyl, C2-7 alkoxyacetyl, C3-10 cycloalkyl, C3-10 cycloalkylamino, C3-10 cycloalkyloxy, C3-10 cycloalkylthio, C4-10 cycloalkenyl, C4-10 cycloalkenylamino, C4-10 cycloalkenyloxy, C4-10 cycloalkenylthio, C6-10 aryl, C6-10 arylamino, or C6-10 aryloxy, etc.; R₂ = H, halo, (un)protected NH₂ or OH, NO₂, cyano, CO₂H, each (un)substituted CONH₂, C1-20 alkyl, C2-20 alkenyl, C2-20 alkynyl, C1-20 alkylamino, C1-20 alkoxy, C2-18 acyl, C2-18 alkoxyacetyl, C3-10 cycloalkyl, C5-10 cycloalkenyl, C3-10 cycloalkylamino, or C4-16 cycloalkylalkyl, etc.; R₃ = H, halo, (un)protected NH₂, OH, or SH, NO₂, cyano, CHO, CO₂H, each (un)substituted CONH₂, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, C1-6 alkylthio, C2-5 acyl, or C2-5 alkoxyacetyl, etc.; R₄ = H, halo, (un)protected NH₂ or OH, NO₂, cyano, CO₂H, SO₃H, each (un)substituted CONH₂, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, C2-5 acyl, C2-5 alkoxyacetyl, C1-6 alkylcarbonyloxy, or C1-6 alkyloxysulfonyl, etc.] are prepared. These compds. have a wide spectrum of antifungal activity by a novel mechanism, i.e., specific or selective 1,6-β-glucan synthesis inhibition. Thus, 1-chloro-3-methyl-2-phenylpyrido[1,2-a]benzimidazole-4-carbonitrile, (3S)-dimethylaminopyrrolidine, Et₃N, and DMF were heated at 80° for 14 h in a sealed vessel to give 61% 1-[(3S)-dimethylpyrrolidin-1-yl]-3-methyl-2-phenylpyrido[1,2-a]benzimidazole-4-carbonitrile formate (II). II showed min. inhibitory concentration of <0.063, <0.063, and 0.5 µg/mL against *Saccharomyces cerevisiae*, *Candida glabrata*, and *C. krusei*, resp. Pharmaceutical formulations, e.g. a capsule containing 1-[2-(diethylamino)ethylamino]-2-ethyl-3-methylpyrido[1,2-a]benzimidazole-4-carbonitrile, were described.

IT 577776-44-4P 577776-48-8P 577776-51-3P

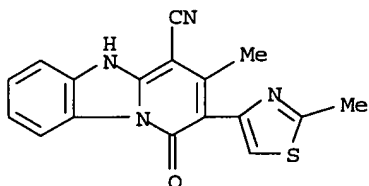
577777-06-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazo[1,2-a]pyridine derivs. as antifungal agents with specific or selective 1,6-β-glucan)

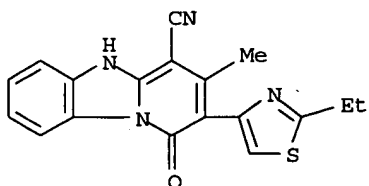
RN 577776-44-4 HCAPLUS

CN Pyrido[1,2-a]benzimidazole-4-carbonitrile, 1,5-dihydro-3-methyl-2-(2-methyl-4-thiazolyl)-1-oxo- (9CI) (CA INDEX NAME)



RN 577776-48-8 HCAPLUS

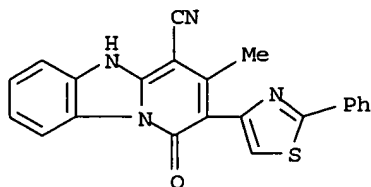
CN Pyrido[1,2-a]benzimidazole-4-carbonitrile, 2-(2-ethyl-4-thiazolyl)-1,5-dihydro-3-methyl-1-oxo- (9CI) (CA INDEX NAME)



RN 577776-51-3 HCAPLUS

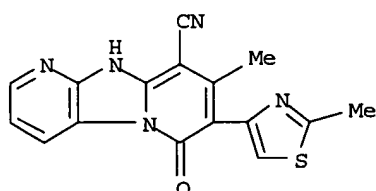
CN Pyrido[1,2-a]benzimidazole-4-carbonitrile, 1,5-dihydro-3-methyl-1-oxo-2-(2-

phenyl-4-thiazolyl)- (9CI) (CA INDEX NAME)



RN 577777-06-1 HCAPLUS

CN Dipyrdo[1,2-a:2',3'-d]imidazole-9-carbonitrile, 1,6-dihydro-8-methyl-7-(2-methyl-4-thiazolyl)-6-oxo- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
===== Anon	1992	81	19	Chemico-Biological I	
Anon	2002	124	7972	J Am Chem Soc	
Anon	1989		1895	J Chem Soc Perkin Tr	
Anon	1995		1475	J Chem Soc Perkin Tr	
Anon	1974		647	J Chem Soc, Chem Com	
Daiichi Pharm Co Ltd	2001			WO---0183733 A1	HCAPLUS
Daiichi Pharm Co Ltd	2001			EP---1283261 A1	HCAPLUS
Daiichi Pharm Co Ltd	2001			NO2002005217 A	HCAPLUS

L28 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:242331 HCAPLUS

DN 138:271542

TI Preparation of tricyclic pyridin-2-one analogues as GABAA receptor ligands

IN Bourrain, Sylvie; Crawforth, James Michael; Gibson, Karl Richard;
Goodacre, Simon Charles; Hallett, David James; Jelley, Richard Alexander;
Rowley, Michael; Sternfeld, Francine

PA Merck Sharp & Dohme Limited, UK

SO PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DT Patent

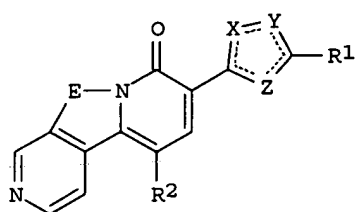
LA English

FAN.CNT 1

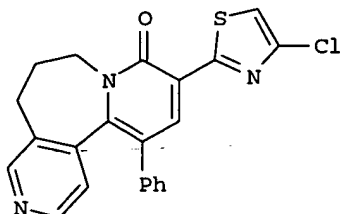
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO2003024964	A1	20030327	2002WO-GB03693	20020809 <--
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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,				
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,				
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,				
UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,				
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI 2001GB-0019803
OS MARPAT 138:271542
GI

A 20010814 <--



I



II

AB The title selectively substituted fused tricyclic compds. based on a substituted pyridinone moiety I [E = (CH₂)_n; n = 1-3; one of X, Y and Z = S and the other two of X, Y and Z = N, CH; R₁ = H, halo, alkyl, etc.; R₂ = (un)substituted (hetero)aryl; provided that, when the X,Y,Z-containing ring is thiazolyl, then R₁ is not Me] which are potent and functionally selective ligands for the α₂/α₃ subunit of the human GABAA receptor and are thereby effective in the treatment of anxiety, were prepared E.g., a 9-step synthesis of II, starting from 3-bromoisonicotinic acid, was given. The exemplified compds. I were found to possess a K_i of ≤ 100 nM for displacement of [3H]-flumazenil from the α₂ and/or α₃ subunit of the human GABAA receptor.

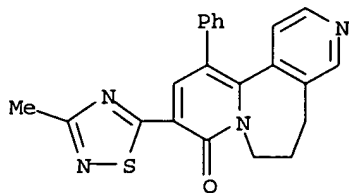
IT 503301-87-9P 503301-91-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic pyridin-2-one analogs as GABAA receptor ligands)

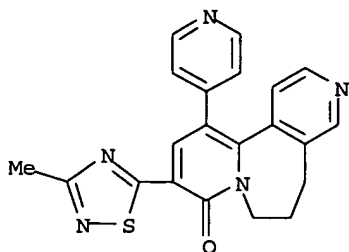
RN 503301-87-9 HCAPLUS

CN Dipyrido[1,2-a:4',3'-c]azepin-9(5H)-one, 6,7-dihydro-10-(3-methyl-1,2,4-thiadiazol-5-yl)-12-phenyl- (9CI) (CA INDEX NAME)



RN 503301-91-5 HCAPLUS

CN Dipyrido[1,2-a:4',3'-c]azepin-9(5H)-one, 6,7-dihydro-10-(3-methyl-1,2,4-thiadiazol-5-yl)-12-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Hoffmann La Roche	1986			EP---0183994 A	HCAPLUS
James, C	1998			WO---9855480 A	HCAPLUS
Metha	1995	57	2215	LIFE SCIENCES	
Owens, A	1998			WO---9850384 A	HCAPLUS

L28 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:173578 HCAPLUS

DN 138:221605

TI Preparation of tricyclic pyridin-2-one analogues as ligands for GABAA receptors

IN Bourrain, Sylvie; Goodacre, Simon Charles; Hallett, David James; Lewis, Richard Thomas; Rowley, Michael; Sternfeld, Francine; Street, Leslie Joseph

PA Merck Sharp & Dohme Limited, UK

SO PCT Int. Appl., 46 pp.

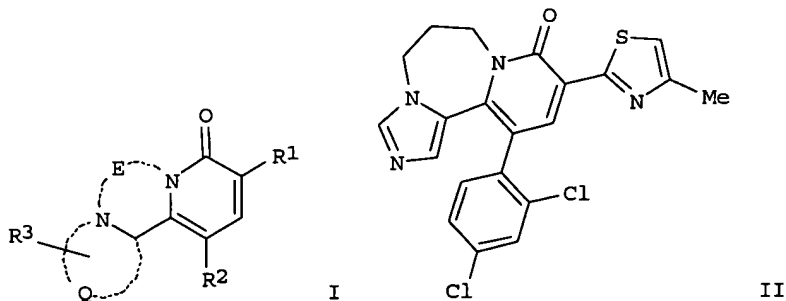
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2003018546	A2	20030306	2002WO-GB03703	20020812 <--
	WO2003018546	A3	20030717		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	2001GB-0020345	A	20010821	<--	
OS	MARPAT 138:221605				
GI					



AB The title fused tricyclic compds. I [E = (CH₂)_n; n = 1-3; Q = the residue of an imidazole or triazole ring; R₁, R₂ = H, halo, heterocyclyl, etc.; R₃ = H, alkyl] which are potent and functionally selective ligands for the α₂/α₃ subunit of the human GABAA receptor and are thereby effective in the treatment of anxiety and convulsions, were prepared E.g., a 7-step synthesis of II, starting from Et (4-methylthiazol-2-yl)acetate

and 3-aminopropanol, was given. The exemplified compds. I were found to possess a K_i of ≤ 100 nM for displacement of [3H]-flumazenil from the α_2 and/or α_3 subunit of the human GABAA receptor.

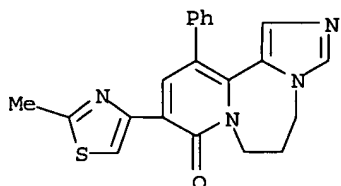
IT 500725-52-0P 500725-59-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic pyridin-2-one analogs as ligands for GABAA receptors)

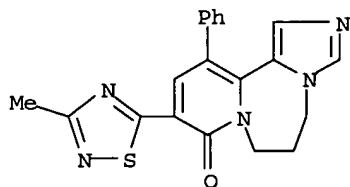
RN 500725-52-0 HCAPLUS

CN 5H,9H-Imidazo[1,5-a]pyrido[2,1-c][1,4]diazepin-9-one, 6,7-dihydro-10-(2-methyl-4-thiazolyl)-12-phenyl- (9CI) (CA INDEX NAME)



RN 500725-59-7 HCAPLUS

CN 5H,9H-Imidazo[1,5-a]pyrido[2,1-c][1,4]diazepin-9-one, 6,7-dihydro-10-(3-methyl-1,2,4-thiadiazol-5-yl)-12-phenyl- (9CI) (CA INDEX NAME)



L28 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:154431 HCAPLUS

DN 138:205041

TI Preparation of tricyclic pyridin-2-one analogues as ligands for GABAA receptors

IN Crawforth, James Michael; Gibson, Karl Richard; Goodacre, Simon Charles; Hallett, David James; Jelley, Richard Alexander; Rowley, Michael; Sternfeld, Francine

PA Merck Sharp & Dohme Limited, UK

SO PCT Int. Appl., 71 pp.

CODEN: PIXXD2

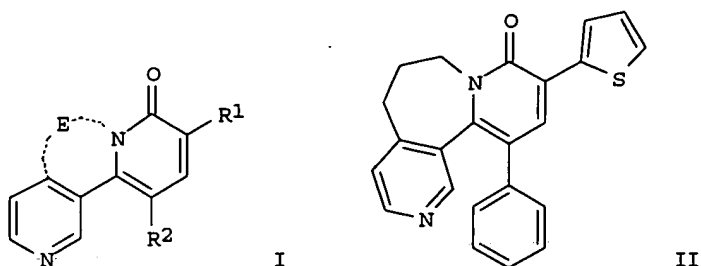
DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2003016311	A1	20030227	2002WO-GB03705	20020809 <--
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
PRAI 2001GB-0019828	A	20010814 <--		

OS MARPAT 138:205041
GI



AB The title selectively substituted fused tricyclic compds. based on a substituted pyridinone moiety [I; E = (CH₂)_n; n = 1-3; R₁ = (un)substituted aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, etc.; R₂ = (un)substituted aryl, heteroaryl; R₃ = alkyl, hydroxyalkyl, alkenyl, etc.; excluding compds. in which R₁ = methylthiazolyl or hydroxymethylthiazolyl] which are potent and functionally selective ligands for the α₂/α₃ subunit of the human GABAA receptor and are thereby effective in the treatment of anxiety and convulsions, were prepared. Thus, reacting 1-{4-[3-(tert-butyldimethylsilyloxy)propyl]pyridin-3-yl}-3-dimethylamino-2-phenylpropenone with 2-(thien-2-yl)acetamide (preps. given) in the presence of lithium hexamethyldisilazide in THF followed by cyclization of the intermediate by treatment with triphenylphosphine in the presence of DEAD in THF afforded II. The exemplified compds. I showed K_i of ≤100 nM for displacement of [3H]-flumazenil from the α₂ and/or α₃ subunit of the human GABAA receptor.

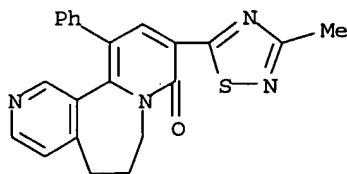
IT 500165-79-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic pyridin-2-one analogs as ligands for GABAA receptors)

RN 500165-79-7 HCAPLUS

CN Dipyrido[1,2-a:3',4'-c]azepin-9(5H)-one, 6,7-dihydro-10-(3-methyl-1,2,4-thiadiazol-5-yl)-12-phenyl- (9CI) (CA INDEX NAME)



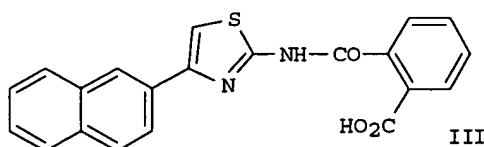
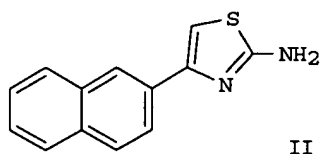
RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Albaugh, P	1994			US---5328912 A	HCAPLUS
Denzel, T	1978			US---4072681 A	HCAPLUS
Hoffmann La Roche	1986			EP---0183994 A	HCAPLUS
Horvath	1994			WO---9425461 A	HCAPLUS
Nadin, A	1999	40	4073	TETRAHEDRON LETTERS	HCAPLUS
Neurogen Corp	1994			WO---9415937 A	HCAPLUS
Owens, A	1998			WO---9850384 A	HCAPLUS

L28 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:58069 HCAPLUS
 DN 138:122639
 TI Preparation of thiazols and related compounds as telomerase inhibitors
 IN Priepke, Henning; Kauffmann-Hefner, Iris; Hael, Norbert; Damm, Klaus;
 Schnapp, Andreas
 PA Boehringer Ingelheim Pharma K.-G., Germany
 SO PCT Int. Appl., 88 pp.
 CODEN: PIXXD2
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2003006443	A2	20030123	2002WO-EP07558	20020706 <--
	WO2003006443	A3	20030501		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE--10133665	A1	20030130	2001DE-1033665	20010711 <--
	US2003055263	A1	20030320	2002US-0192456	20020710 <--
PRAI	2001DE-1033665	A	20010711	<--	
	2001US-307449P	P	20010724	<--	
OS	MARPAT 138:122639				
GI					



AB Title compds. R1-A-B-R2 (I) [R1 = (un)substituted Ph, phenylalkyl, phenylalkenyl, etc.; A = (un)substituted phenylalkyl; B = HN, NHCO, CONH, etc.; R2 = CO2, (un)substituted cycloalkyl, cycloalkenyl, etc.] and their pharmaceutically acceptable salts were prepared For example, coupling of thiazol II and phthalic anhydride afforded claimed benzoic acid III in 30% yield. In telomerase inhibition studies, 3-specific examples of I exhibited IC50 values ranging from < 1 - < 5 μ M, e.g., IC50 value of compound III was < 5 μ M. Compds. I are claimed useful as telomerase inhibitors.

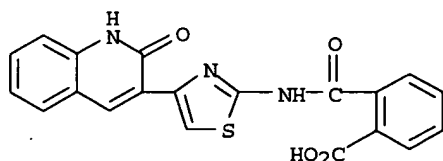
IT 488816-08-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

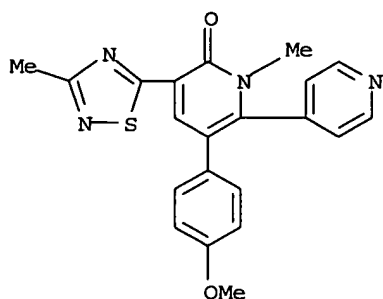
(drug candidate; preparation of thiazols and related compds. as telomerase inhibitors)

RN 488816-08-6 HCAPLUS

CN Benzoic acid, 2-[[[4-(1,2-dihydro-2-oxo-3-quinolinyl)-2-thiazolyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



L28 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 2002:237765 HCAPLUS
 DN 137:196
 TI 3-Heteroaryl-2-pyridones: Benzodiazepine Site Ligands with Functional Selectivity for $\alpha 2/\alpha 3$ -Subtypes of Human GABAA Receptor-Ion Channels
 AU Collins, Ian; Moyes, Christopher; Davey, William B.; Rowley, Michael; Bromidge, Frances A.; Quirk, Kathleen; Atack, John R.; McKernan, Ruth M.; Thompson, Sally-Ann; Wafford, Keith; Dawson, Gerard R.; Pike, Andrew; Sohal, Bindi; Tsou, Nancy N.; Ball, Richard G.; Castro, Jose L.
 CS Merck Sharp & Dohme Research Laboratories, The Neuroscience Research Centre, Harlow, CM20 2QR, UK
 SO Journal of Medicinal Chemistry (2002), 45(9), 1887-1900
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 137:196
 AB A novel series of 3-heteroaryl-5,6-bis(aryl)-1-methyl-2-pyridones were developed with high affinity for the benzodiazepine (BZ) binding site of human γ -aminobutyric acid (GABAA) receptor ion channels, low binding selectivity for $\alpha 2$ - and/or $\alpha 3$ - over $\alpha 1$ -containing GABAA receptor subtypes and high binding selectivity over $\alpha 5$ subtypes. High affinity appeared to be associated with a coplanar conformation of the pyridone and sulfur-containing 3-heteroaryl rings resulting from an attractive S...O intramol. interaction. Functional selectivity (i.e., selective efficacy) for $\alpha 2$ and/or $\alpha 3$ GABAA receptor subtypes over $\alpha 1$ was observed in several of these compds. in electrophysiol. assays. Furthermore, an $\alpha 3$ subtype selective inverse agonist was pro-convulsant and anxiogenic in rodents while an $\alpha 2/\alpha 3$ subtype selective partial agonist was anticonvulsant and anxiolytic, supporting the hypothesis that subtype selective BZ site agonists may provide new anxiolytic therapies.
 IT 433217-25-5P
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (new class of 2-pyridone GABAA benzodiazepine site ligands with anxiolytic activity)
 RN 433217-25-5 HCAPLUS
 CN [2,4'-Bipyridin]-6(1H)-one, 3-(4-methoxyphenyl)-1-methyl-5-(3-methyl-1,2,4-thiadiazol-5-yl)- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Atack, J	1999	20	255	Neuropsychopharmacol	HCAPLUS
Bondi, A	1964	68	441	J Phys Chem	HCAPLUS
Broughton, H				WO---9804559	HCAPLUS
Chebib, M	2000	43	1427	J Med Chem	HCAPLUS
Collins, I				US---6200982	HCAPLUS
Collins, I	2000	10	1381	Bioorg Med Chem Lett	HCAPLUS
Collins, I	1999	40	4069	Tetrahedron Lett	HCAPLUS
Creuven, I	1996	26	777	J Chem Crystallogr	HCAPLUS
Dawson, G	2000	295	1051	J Pharmacol Exp Ther	HCAPLUS
Doisy, X	1999	7	921	Bioorg Med Chem	HCAPLUS
Dondoni, A	1984	25	3633	Tetrahedron Lett	HCAPLUS
Hadingham, K	1993	43	970	Mol Pharmacol	HCAPLUS
Hansell, D	1996	C52	136	Acta Crystallogr	HCAPLUS
Huang, Q	1999	16	55	Drug Des Discovery	HCAPLUS
Huang, Q	2000	43	71	J Med Chem	HCAPLUS
Jacobsen, E	1999	42	1123	J Med Chem	HCAPLUS
Jones, G	1984	2	395	Comprehensive Hetero	
Jones, G	1996	5	167	Comprehensive Hetero	HCAPLUS
Knaus, G	1974	39	1192	J Org Chem	HCAPLUS
Korpi, E	1997	29	275	Ann Med	HCAPLUS
Krapcho, A	1973		957	Tetrahedron Lett	HCAPLUS
Krogsgaard-Larsen, P	1997	5	355	Eur J Pharm Sci	
Liang, G	1996	37	6627	Tetrahedron Lett	HCAPLUS
Low, K	2000	290	131	Science	HCAPLUS
Macleod, A	1990	33	2052	J Med Chem	HCAPLUS
Martin, G	1992	57	5907	J Org Chem	HCAPLUS
Martin, I	1999	9	1347	Exp Opin Ther Pat	HCAPLUS
Maurin, J	1999	73	377	Pol J Chem	HCAPLUS
McKernan, R	2000	3	587	Nat Neurosci	HCAPLUS
McKernan, R	1996	19	139	Trends Neurosci	MEDLINE
Mehta, A	1999	29	196	Brain Res Rev	HCAPLUS
Meth-Cohn, O	1984		1173	J Chem Soc, Perkin T	HCAPLUS
Miyaura, N	1981	11	513	Synth Commun	HCAPLUS
Ogura, K	1979	52	2013	Bull Chem Soc Jpn	HCAPLUS
Pellow, S	1986	24	525	Pharmacol, Biochem B	HCAPLUS
Reynolds, D	2001	22	402	Trends Pharmacol Sci	HCAPLUS
Robertson, D	1986	29	635	J Med Chem	HCAPLUS
Rudolph, U	1999	401	796	Nature	HCAPLUS
Rudolph, U	2001	22	188	Trends Pharmacol Sci	HCAPLUS
Sice, J	1954	19	70	J Org Chem	HCAPLUS
Sieghart, W	1999	34	379	Neurochem Int	HCAPLUS
Sieghart, W	2000	21	411	Trends Pharmacol Sci	HCAPLUS
Sigel, E	1997	18	425	Trends Pharmacol Sci	HCAPLUS
Sircar, I	1987	30	1023	J Med Chem	HCAPLUS
Stephenson, F	1995	310	1	Biochem J	HCAPLUS
Tenbrink, R	1994	37	758	J Med Chem	HCAPLUS
Teuber, L	1999	5	317	Curr Pharm Des	HCAPLUS

Tully, W	1991	34	2060	J Med Chem	HCAPLUS
Wafford, K	1993	43	240	Mol Pharmacol	HCAPLUS
Wang, Q	1999	5	125	CNS Drug Rev	HCAPLUS
Watjen, F	1989	32	2282	J Med Chem	HCAPLUS
Whiting, P	1999	34	387	Neurochem Int	HCAPLUS
Wouters, J	1997	C53	892	Acta Crystallogr	HCAPLUS
Yu, S	1999	9	186	Med Chem Res	HCAPLUS
Zhang, W	1995	12	193	Drug Des Discovery	HCAPLUS

L28 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:319892 HCAPLUS

DN 134:326520

TI Preparation of naphthyridine derivatives as phosphodiesterase IV inhibitors

IN Iwata, Masahiro; Kawano, Noriyuki; Takuwa, Tomofumi; Shiraki, Ryota; Kobayashi, Miki; Takeuchi, Makoto

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 61 pp.

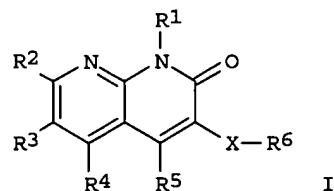
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2001030779	A1	20010503	2000WO-JP07433	20001024 <--
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	CA---2385178	AA	20010503	2000CA-2385178	20001024 <--
	AU2000079560	A5	20010508	2000AU-0079560	20001024 <--
	AU---779081	B2	20050106		
	JP2001192385	A2	20010717	2000JP-0323880	20001024 <--
	JP---3373838	B2	20030204		
	BR2000014981	A	20020716	2000BR-0014981	20001024 <--
	EP---1225173	A1	20020724	2000EP-0970038	20001024 <--
	EP---1225173	B1	20050928		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
	RU---2240322	C2	20041120	2002RU-0111005	20001024 <--
	AT---305470	E	20051015	2000AT-0970038	20001024 <--
	US---6740662	B1	20040525	2002US-0111077	20020419 <--
PRAI	1999JP-0302544	A	19991025	<--	
	2000WO-JP07433	W	20001024	<--	
OS	MARPAT 134:326520				
GI					



AB The title compds. I [R1 = alkyl, etc.; R2 - R4 = H, alkyl, etc.; R5 = (un)substituted Ph, etc.; R6 = OH, NH2, etc.; X = bond, alkylene, etc.] are prepared I are useful as remedies for respiratory diseases related to

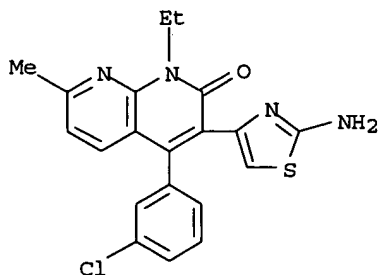
phosphodiesterase IV. Compds. of this invention in vitro showed IC50 values of ≤ 11 nM against phosphodiesterase IV.

IT 337358-29-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of naphthyridine derivs. as phosphodiesterase IV inhibitors)

RN 337358-29-9 HCAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 3-(2-amino-4-thiazolyl)-4-(3-chlorophenyl)-1-ethyl-7-methyl- (9CI) (CA INDEX NAME)

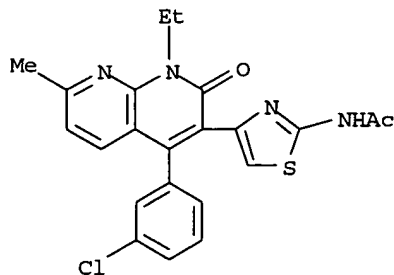


IT 337358-74-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of naphthyridine derivs. as phosphodiesterase IV inhibitors)

RN 337358-74-4 HCAPLUS

CN Acetamide, N-[4-[4-(3-chlorophenyl)-1-ethyl-1,2-dihydro-7-methyl-2-oxo-1,8-naphthyridin-3-yl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Green Cross Corp	1995			JP--07010875 A	HCAPLUS
Matsuura, A	1994	17	498	Biol Pharm Bull	HCAPLUS
Sumitomo Pharmaceutical				JP--09048780 A	HCAPLUS
Sumitomo Pharmaceutical				JP--10212288 A	HCAPLUS
Sumitomo Pharmaceutical				CN---1191536 A	HCAPLUS
Sumitomo Pharmaceutical				CN---1245500 A	HCAPLUS
Sumitomo Pharmaceutical				US---5843957 A	HCAPLUS
Sumitomo Pharmaceutical				US---5843957 A	HCAPLUS
Sumitomo Pharmaceutical				WO---9638445 A1	HCAPLUS
Sumitomo Pharmaceutical				AU---9657808 A1	HCAPLUS
Sumitomo Pharmaceutical				AU---9749688 A1	HCAPLUS
Sumitomo Pharmaceutical				WO---9823615 A1	HCAPLUS
Sumitomo Pharmaceutical				AU---9925473 A1	HCAPLUS
Sumitomo Pharmaceutical	1998			EP---842933 A1	HCAPLUS
Sumitomo Pharmaceutical	1999			EP---947515 A1	HCAPLUS

Sumitomo Pharmaceutical	1999	WO---9943659 A1	HCAPLUS
Yamanouchi Pharmaceutic		CN---1156455 A	HCAPLUS
Yamanouchi Pharmaceutic		US---5817670 A	HCAPLUS
Yamanouchi Pharmaceutic		HU-----76980 A	HCAPLUS
Yamanouchi Pharmaceutic		AU---9532656 A1	HCAPLUS
Yamanouchi Pharmaceutic		WO---9606843 A1	HCAPLUS
Yamanouchi Pharmaceutic	1997	EP---779292 A1	HCAPLUS

L28 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1998:396846 HCAPLUS

DN 129:115023

TI Ensembles of rings with a coumarin unit. 2. Spectral luminescent properties and spin-orbit coupling in molecules of 3-(2-R-thiazol-4-yl)- and 3-(4-R-thiazol-2-yl)coumarins

AU Doroshenko, A. O.; Posokhov, E. A.; Belokon, Ya. V.; Kovalenko, S. N.; Ivanov, V. V.; Ponomarev, O. A.

CS Kharkov State University, Kharkov, 310077, Ukraine

SO Chemistry of Heterocyclic Compounds (New York) (Translation of Khimiya Geterotsiklicheskikh Soedinenii) (1998), Volume Date 1997, 33(10), 1177-1184

CODEN: CHCCAL; ISSN: 0009-3122

PB Consultants Bureau

DT Journal

LA English

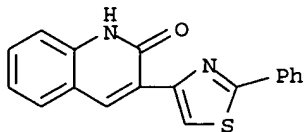
AB The luminescence was studied of 3-(2-R-thiazol-4-yl)coumarins (R = Me, CH₂CN, Ar) and some isomeric 3-(4-R-thiazol-2-yl)coumarins (R = Ar) with substituents of different electronic types both in the coumarin and in the aryl moieties. Ests. were obtained of the rate consts. for primary photophys. processes: emission of fluorescence and nonradiative degradation of the electronic excitation energy. The matrix elements for the spin-orbit coupling operator, and based on these matrix elements the intersystem crossing rate consts., were calculated. Deterioration of the fluorescent properties of the studied thiazolyl derivs. of coumarin when π -conjugated moieties are introduced into the thiazole ring is determined by the enhancement of the spin-orbit interaction in a system of levels of the π , π -type.

IT 209974-99-2 209975-00-8

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)
(luminescence and spin-orbit coupling in)

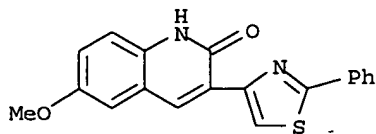
RN 209974-99-2 HCAPLUS

CN 2(1H)-Quinolinone, 3-(2-phenyl-4-thiazolyl)- (9CI) (CA INDEX NAME)



RN 209975-00-8 HCAPLUS

CN 2(1H)-Quinolinone, 6-methoxy-3-(2-phenyl-4-thiazolyl)- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
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Asimov, M	1988	149	140	Chem Phys Lett	HCAPLUS
Asimov, M	1994			Inst Fiz Akad Nauk B	
Asimov, M	1991	70	384	Opt Spektrosk	HCAPLUS
Asimov, M	1992		44	Vestsi AN Belarusi	
Babich, E	1990		17	Teor Eksp Khim	HCAPLUS
Basharin, S	1990	52	48	Zh Prikl Spektrosk	
Griffits, J	1982	3	211	Dyes and Pigments	
Kovalenko, S	1995	76	189	Kazan Med Zh	
McGlynn, S	1972			Molecular Spectroscopy	
Melhuish, W	1961	65	229	J Phys Chem	HCAPLUS
Minkin, V	1973	8	249	Int J Sulfur Chem	
Muldakhmetov, Z	1983			Optical and Magnetic	
Ponomarev, O	1989	8	1369	Khim Fiz	HCAPLUS
Ponomarev, O	1991	65	1846	Zh Fiz Khim	HCAPLUS
Sprague, J	1987	8	581	J Comp Chem	HCAPLUS
Ware, W	1973	77	2038	J Phys Chem	HCAPLUS
Ya, V	1997		1345	Khim Geterotsikl Soe	
Yu, F	1990	69	313	Opt Spektrosk	
Yu, F	1990	69	550	Opt Spektrosk	

L28 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1993:191726 HCAPLUS

DN 118:191726

TI Preparation oxazole and thiazole derivatives as active oxygen inhibitors

IN Chihiro, Masatoshi; Komatsu, Hajime; Tominaga, Michiaki; Yabuuchi, Youichi

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 560 pp.

CODEN: PIXXD2

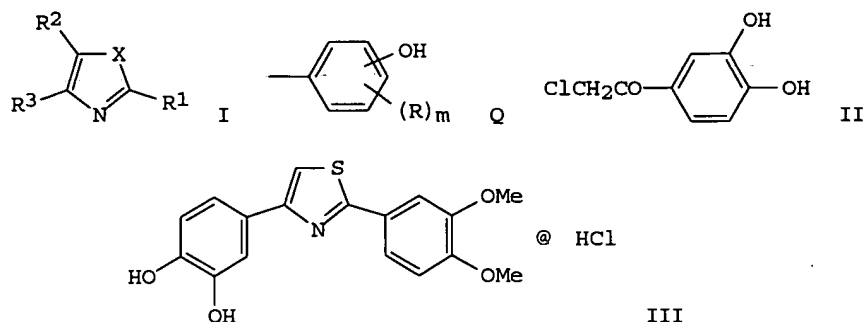
DT Patent

LA Japanese

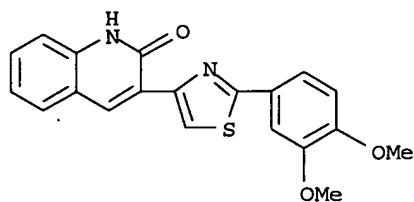
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9209586	A1	19920611	1991WO-JP01659	19911129 <--
	W: AU, CA, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	CA---2074933	AA	19920531	1991CA-2074933	19911129 <--
	CA---2074933	C	20021203		
	AU---9189367	A1	19920625	1991AU-0089367	19911129 <--
	AU---656930	B2	19950223		
	CA---2547947	AA	19920625	1991CA-2547947	19911129 <--
	EP---513387	A1	19921119	1991EP-0920815	19911129 <--
	EP---513387	B1	20000301		
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	EP---934937	B1	20020227		
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	ES---2144403	T3	20000616	1991ES-0920815	19911129 <--
	EP---1130017	A2	20010905	2001EP-0112988	19911129 <--
	EP---1130017	A3	20010919		
	EP---1130017	B1	20050615		
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	ES---2173683	T3	20021016	1999ES-0107493	19911129 <--
	ES---2245660	T3	20060116	2001ES-0112988	19911129 <--
	US---5643932	A	19970701	1995US-0444728	19950519 <--
	US---5677319	A	19971014	1995US-0482657	19950607 <--
	US---6080764	A	20000627	1997US-0826343	19970325 <--
	JP--10101562	A2	19980421	1997JP-0233370	19970813 <--
	JP---3182556	B2	20010703		
	HK---1003938	A1	20000721	1998HK-0103139	19980416 <--
	US----37556	E	20020219	1999US-0245914	19990208 <--
PRAI	1990JP-0337727	A	19901130	<--	
	1991CA-2396738	A3	19911129	<--	
	1991EP-0920815	A3	19911129	<--	

1999EP-0107493 A3 19911129 <--
 1991JP-0342495 A3 19911129 <--
 1991WO-JP01659 A 19911129 <--
 1992US-0916082 B1 19920729 <--
 1995US-0444728 A3 19950519 <--
 1995US-0482657 A3 19950607 <--
 OS MARPAT 118:191726
 GI

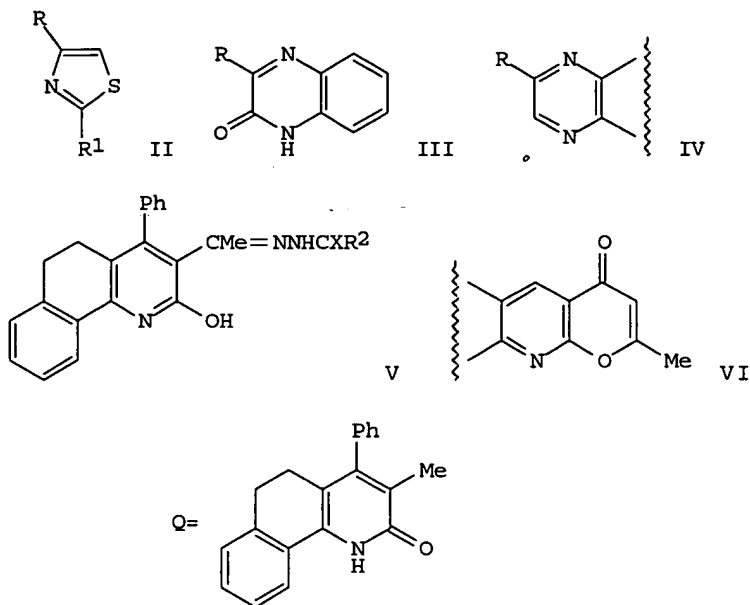


AB The title compds. [I; R1 = (substituted) Ph; R2 = H, halo, alkyl, Ph alkoxy carbonyl, alkylamino, etc.; R3 = Q (wherein R = OH, CO₂H, alkyl, alkenyl; m = 0-2); X = S, O], useful in treating thrombosis, arteriosclerosis, peptic ulcers, etc., are prepared. A suspension of 367 mg II and 430 mg 3,4-(MeO)₂C₆H₃CSNH₂ in EtOH was refluxed to give 160 mg thiazole salt III, which showed IC₅₀ of 1 μM against superoxide formation. I were also effective in treating arrhythmia, ischemic renal disorders, and myocardial necrosis.
 IT 145737-12-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as active oxygen inhibitor)
 RN 145737-12-8 HCAPLUS
 CN 2(1H)-Quinolinone, 3-[2-(3,4-dimethoxyphenyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



L28 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 1991:143103 HCAPLUS
 DN 114:143103
 TI 2-oxo-3-cyanobenzo[h]quinoline. Part II. Some reactions on 3-methyl ketone derivative
 AU Michael, J.; Nabih, I.; El-Zahar, M.
 CS Lab. Med. Chem., Natl. Res. Cent., Cairo, Egypt
 SO Egyptian Journal of Chemistry (1990), Volume Date 1988, 31(1), 117-24
 CODEN: EGJCA3; ISSN: 0367-0422
 DT Journal
 LA English
 OS CASREACT 114:143103

GI



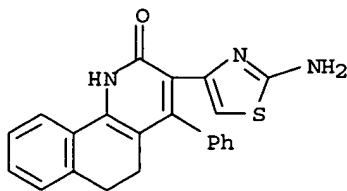
AB Bromination of RCOMe (R = Q throughout) by Br₂-AcOH gave 86% RCOCH₂Br (I) which was treated with KSCN to give 50% RCOCH₂SCN followed by intramol. cycloaddn. to give 47% thiazole II (R₁ = OH). Addnl. obtained were II (R₁ = NH₂, Me) from I and H₂NCSNH₂ and MeCSNH₂, resp. Oxidation of I by SeO₂-EtOH and SeO₂-dioxane gave 75 and 79% RCOCO₂Et and RCOCHO, resp. which were cyclocondensed with o-H₂NC₆H₄NH₂ to give 53% quinoxaline III and 52% quinoxaline IV, resp. Addnl. obtained were 52-84% benzoquinolines V (X = O, R₂ = Me₂CH, Bu, Ph; X = S, R₂ = Me, Ph) and 68% benzopyranoquinolinone VI.

IT 132894-68-9P 132894-69-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

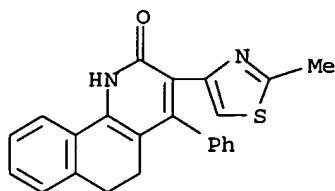
RN 132894-68-9 HCAPLUS

CN Benzo[h]quinolin-2(1H)-one, 3-(2-amino-4-thiazolyl)-5,6-dihydro-4-phenyl-
(9CI) (CA INDEX NAME)



RN 132894-69-0 HCAPLUS

CN Benzo[h]quinolin-2(1H)-one, 5,6-dihydro-3-(2-methyl-4-thiazolyl)-4-phenyl-
(9CI) (CA INDEX NAME)



=> b uspatall

FILE 'USPATFULL' ENTERED AT 09:24:52 ON 15 AUG 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 09:24:52 ON 15 AUG 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs fhitrn hitrn 132 3-4

L32 ANSWER 3 OF 10 USPATFULL on STN

AN 2004:294735 USPATFULL
TI Compounds and methods of uses
IN Norman, Mark H., Thousand Oaks, CA, United States
Wang, Hui-Ling, Thousand Oaks, CA, United States
Rzasa, Robert, Ventura, CA, United States
Zhong, Wenge, Thousand Oaks, CA, United States
Nguyen, Thomas, Thousand Oaks, CA, United States
Kaller, Matthew, Ventura, CA, United States
Liu, Hu, Brooklyn, NY, United States
PA Amgen, Inc., Thousand Oaks, CA, United States (U.S. corporation)
PI US---6822097 B1 20041123
AI 2003US-0360226 20030206 (10)
PRAI 2002US-355313P 20020207 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Seaman, D. Margaret
CLMN Number of Claims: 44
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 15475

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Selected compounds are effective for treatment of diseases, such as cell proliferation or apoptosis mediated diseases. The invention encompasses novel compounds, analogs, prodrugs and pharmaceutically acceptable derivatives thereof, pharmaceutical compositions and methods for prophylaxis and treatment of diseases and other maladies or conditions involving stroke, cancer and the like. The subject invention also relates to processes for making such compounds as well as to intermediates useful in such processes.

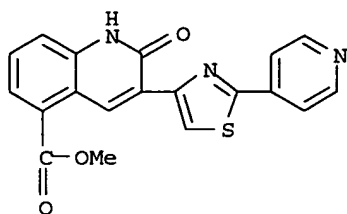
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 578017-64-8P

(preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

RN 578017-64-8 USPATFULL

CN 5-Quinolinecarboxylic acid, 1,2-dihydro-2-oxo-3-[2-(4-pyridinyl)-4-thiazolyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 578017-64-8P 578017-68-2P 578017-70-6P
578017-96-6P 578018-16-3P 578018-20-9P
578018-25-4P 578018-29-8P 578018-34-5P
578018-44-7P 578018-57-2P 578018-62-9P
578018-82-3P 578018-85-6P 578018-94-7P
(preparation of thiazolyl substituted quinolinones for treating cell
proliferative disorders, neurol. disorders and apoptosis)

IT 209974-99-2P 578017-57-9P 578017-58-0P
578017-59-1P 578017-60-4P 578017-61-5P
578017-62-6P 578017-63-7P 578017-65-9P
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578017-77-3P 578017-78-4P 578017-79-5P
578017-80-8P 578017-81-9P 578017-82-0P
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578017-87-5P 578017-89-7P 578017-90-0P
578017-92-2P 578017-94-4P 578017-95-5P
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 578020-21-0P 578020-22-1P 578020-24-3P
 578020-26-5P 578020-27-6P 578020-28-7P

(preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

IT 578020-18-5 578020-20-9

(preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

IT 578020-04-9P 578020-08-3P 578020-09-4P

578020-11-8P 578020-12-9P 578020-13-0P
 578020-14-1P 578020-15-2P

(preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurol. disorders and apoptosis)

L32 ANSWER 4 OF 10 USPATFULL on STN

AN 2004:190788 USPATFULL

TI Pyrid-2-one derivatives and methods of use

IN Zhong, Wenge, Thousand Oaks, CA, UNITED STATES

Norman, Mark Henry, Thousand Oaks, CA, UNITED STATES

Kaller, Matthew, Ventura, CA, UNITED STATES

Nguyen, Thomas, Thousand Oaks, CA, UNITED STATES

Rzasa, Robert Michael, Ventura, CA, UNITED STATES

Tegley, Christopher, Thousand Oaks, CA, UNITED STATES

Wang, Hui-Ling, Thousand Oaks, CA, UNITED STATES

PI US2004147561 A1 20040729

AI 2003US-0736289 A1 20031212 (10)

PRAI 2002US-436787P 20021227 (60) <--

DT Utility

FS APPLICATION

LREP AMGEN INC., U.S. Patent Operations/JWB, Dept. 4300, M/S 27-4-A, One Amgen Center Drive, Thousand Oaks, CA, 91320-1799

CLMN Number of Claims: 39

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 7376

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Selected compounds are effective for treatment of diseases, such as cell proliferation or apoptosis mediated diseases. The invention encompasses novel compounds, analogs, prodrugs and pharmaceutically acceptable derivatives thereof, pharmaceutical compositions and methods for prophylaxis and treatment of diseases and other maladies or conditions involving stroke, cancer and the like. The subject invention also relates to processes for making such compounds as well as to intermediates useful in such processes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 727383-80-4P, 2-Methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid trifluoroacetate

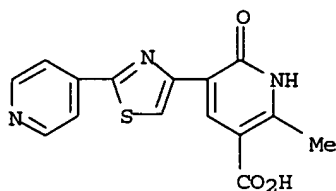
(Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

RN 727383-80-4 USPATFULL

CN 3-Pyridinecarboxylic acid, 1,6-dihydro-2-methyl-6-oxo-5-[2-(4-pyridinyl)-4-thiazolyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

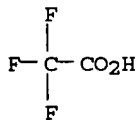
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CRN 727383-79-1
CMF C15 H11 N3 O3 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



- IT 727383-80-4P, 2-Methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid trifluoroacetate (Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)
- IT 727382-46-9P, Ethyl 2-ethyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylate 727382-58-3P, Ethyl 2-isopropyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-61-8P, Ethyl 2-isopropyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-78-7P 727383-04-2P, Ethyl 5-[2-(2-chloro-4-pyridinyl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-27-9P, Ethyl 5-[2-[2-(4-methoxybenzylamino)pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-30-4P, Ethyl 2-methyl-5-[2-(methylamino)-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-52-0P, 2-(Isopropyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylic acid 727383-77-9P, 1,1-Dimethylethyl 2-methyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-89-3P, 5-Hydroxymethyl-6-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-52-3P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid (2-hydroxyethyl)amide 727384-54-5P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid (2-hydroxypropyl)amide 727384-61-4P, 2-(2-Benzoyloxyethyl)-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid ethyl ester 727384-65-8P, 2-(2-Hydroxyethyl)-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid ethyl ester (Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)
- IT 727382-48-1P 727382-49-2P, Ethyl 2-ethyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-50-5P, Ethyl 2-ethyl-6-oxo-5-[2-(benzodioxol-5-yl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-51-6P, Ethyl 6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-(trifluoromethyl)-1,6-dihydro-3-pyridinecarboxylate 727382-53-8P, Ethyl 2-trifluoromethyl-6-oxo-5-[2-(3-chloro-4-

pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate
 727382-55-0P, Ethyl 6-oxo-5-[2-[(2-pyridylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-(trifluoromethyl)-1,6-dihydro-3-pyridinecarboxylate
 727382-56-1P, Ethyl 6-oxo-5-[2-[(2-thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-(trifluoromethyl)-1,6-dihydro-3-pyridinecarboxylate
 727382-57-2P, Ethyl 2-trifluoromethyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-60-7P, Ethyl 2-isopropyl-6-oxo-5-[2-[(2-thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-62-9P, Ethyl 2-propyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-65-2P, Ethyl 2-propyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-66-3P, Ethyl 2-propyl-6-oxo-5-[2-[(2-thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-67-4P, Ethyl 6-oxo-2-[(phenylmethoxy)methyl]-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-71-0P, Ethyl 6-oxo-2-[(phenylmethoxy)methyl]-5-[2-[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-72-1P
 727382-74-3P, 3-[2-(Pyridin-4-yl)-1,3-thiazol-4-yl]-1,7,8-trihydro-5H-pyrano[4,3-b]pyridin-2-one 727382-76-5P
 727382-79-8P, 3-[2-(Pyridin-4-yl)-1,3-thiazol-4-yl]-1,5,6,7,8-pentahydropyridino[3,2-c]pyridin-2-one dihydrochloride
 727382-80-1P, Ethyl 2-[[[(4-methoxyphenyl)methoxy]methyl]-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate
 727382-85-6P, Ethyl 2-methyl-6-oxo-5-[2-[(2-thienylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-89-0P, Ethyl 5-[2-[[[(4-fluorophenyl)methyl]sulfonyl]methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727382-90-3P, Ethyl 2-methyl-6-oxo-5-[2-(2-thienyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-92-5P, Ethyl 2-methyl-6-oxo-5-[2-(phenylthiomethyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate
 727382-93-6P, Ethyl 5-[2-(2-ethyl-4-pyridinyl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727382-94-7P, Ethyl 2-methyl-6-oxo-5-[2-[[[(3-(trifluoromethyl)phenyl)methyl]sulfonyl]methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate
 727382-95-8P, Ethyl 2-methyl-6-oxo-5-[2-(3-thienyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727382-96-9P, Ethyl 5-[2-(2H-benzo[d]-1,3-dioxolan-5-yl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727382-97-0P, Ethyl 2-methyl-6-oxo-5-(2-phenyl-1,3-thiazol-4-yl)-1,6-dihydro-3-pyridinecarboxylate 727382-98-1P, Ethyl 2-methyl-6-oxo-5-[2-(4-fluorophenyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate
 727382-99-2P, Ethyl 5-[2-(2,6-dichlorophenyl)-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-00-8P, Ethyl 2-methyl-5-[2-(2-methyl-1,3-thiazol-4-yl)-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-01-9P, Ethyl 5-[2-[[[(furan-2-ylmethyl)sulfonyl]methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-02-0P, Ethyl 5-[2-[[[(tert-butyl)sulfonyl]methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-03-1P, Ethyl 2-methyl-6-oxo-5-[2-(3-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-06-4P, Ethyl 2-methyl-6-oxo-5-[2-(4-methoxyphenyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate
 727383-07-5P, Ethyl 5-[2-(3,5-dichloropyridin-4-yl)thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-08-6P, Ethyl 5-[2-[(methylsulfonyl)methyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-09-7P, Ethyl 5-[2-[3-[[[(4-chlorophenyl)sulfonyl]methyl]-2-thienyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-10-0P, Ethyl 2-methyl-6-oxo-5-[2-[2-(1-piperidinyl)-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-11-1P, Ethyl 2-methyl-5-[2-[2-[(2-methylpropyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-12-2P, Ethyl 2-methyl-6-oxo-5-[2-[2-[(3-pyridinylmethyl)amino]-4-pyridinyl]-1,3-

thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-13-3P,
Ethyl 2-methyl-6-oxo-5-[2-[2-[(phenylmethyl)amino]-4-pyridinyl]-1,3-
thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-14-4P,
Ethyl 2-methyl-6-oxo-5-[2-[2-[2-oxo-3-(trifluoromethyl)-1(2H)-
pyridinyl]ethyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate
727383-15-5P, Ethyl 5-[2-[2-[[2-(diethylamino)ethyl]amino]-4-
pyridinyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-
pyridinecarboxylate 727383-16-6P, Ethyl 5-[2-[2-[(fur-2-
ylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-
pyridinecarboxylate hydrochloride 727383-17-7P, Ethyl
5-[2-[2-[[2-(thien-2-yl)ethyl]amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-
oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-18-8P
, Ethyl 5-[2-[2-(4-fluorobenzylamino)pyridin-4-yl]thiazol-4-yl]-2-methyl-
6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride
727383-19-9P, Ethyl 5-[2-(2-butylaminopyridin-4-yl)thiazol-4-yl]-
2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride
727383-20-2P, Ethyl 5-[2-[2-[(carbamoylmethyl)amino]pyridin-4-
yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate
hydrochloride 727383-21-3P, Ethyl 5-[2-[2-[2-
(acetylamino)ethylamino]pyridin-4-yl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-
1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-22-4P,
N-[2-[[4-[4-(6-Methyl-2-oxo-1,2-dihydropyridin-3-yl)-1,3-thiazol-2-
yl]pyridin-2-yl]amino]ethyl]acetamide 727383-23-5P,
N-(Cyclopropylmethyl)-5-[2-[2-[(cyclopropylmethyl)amino]-4-pyridinyl]-1,3-
thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydro-3-pyridinecarboxamide
hydrochloride 727383-24-6P, Ethyl 5-[2-[2-
[(cyclopropylmethyl)amino]pyridin-4-yl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-
1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-25-7P,
Ethyl 5-[2-[2-[(Cyclopentylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-
methyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride
727383-26-8P, 5-[2-[2-[(4-Methoxybenzyl)amino]pyridin-4-yl]-1,3-
thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid
N-(4-methoxybenzyl)amide hydrochloride 727383-28-0P, Ethyl
2-methyl-6-oxo-5-[2-[2-(amino)-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-
3-pyridinecarboxylate 727383-29-1P 727383-31-5P,
Ethyl 2-methyl-5-[2-[methyl(phenylsulfonyl)amino]-1,3-thiazol-4-yl]-6-oxo-
1,6-dihydro-3-pyridinecarboxylate 727383-32-6P
727383-33-7P, Ethyl 2-methyl-5-[2-[methyl(phenylsulfonyl)amino]-
1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride
(1/2) 727383-34-8P, 5-[(Phenylmethyl)oxy]-3-[2-(4-pyridinyl)-
1,3-thiazol-4-yl]-2(1H)-pyridinone 727383-35-9P,
6-(Methoxymethyl)-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone
727383-37-1P, 5-Phenoxy-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-
2(1H)-pyridinone 727383-38-2P, 5-Phenoxy-3-[2-(4-pyridinyl)-1,3-
thiazol-4-yl]-2(1H)-pyridinone hydrochloride (1/3) 727383-39-3P
, 6-Methyl-3-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1H-pyridin-2-one
727383-40-6P, Ethyl 2-(1-methylethyl)-5-[2-(2-methoxy-4-
pyridinyl)-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate
727383-42-8P, Ethyl 2-methyl-5-[2-[2-(methoxy)-4-pyridinyl]-1,3-
thiazol-4-yl]-6-oxo-1,6-dihydro-3-pyridinecarboxylate
727383-43-9P, Ethyl 2-methyl-6-oxo-5-[2-[(phenylsulfonyl)methyl]-
1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-44-0P
, Ethyl 2-methyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-
pyridinecarboxylate 727383-45-1P, Ethyl 2-methyl-6-oxo-5-[2-[(2-
pyridylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-
pyridinecarboxylate 727383-46-2P, Ethyl 2-methyl-5-[2-[1-methyl-
1-(phenylsulfonyl)ethyl]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydro-3-
pyridinecarboxylate 727383-47-3P, Ethyl 2-cyclopropyl-6-oxo-5-
[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate
727383-51-9P, Ethyl 2-cyclopropyl-6-oxo-5-[2-
[(phenylsulfonyl)methyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-
pyridinecarboxylate 727383-53-1P, 5-Bromo-6-methyl-3-[2-(4-
pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone 727383-56-4P,
Ethyl 2-methyl-5-[2-[2-(methylamino)-4-pyridinyl]-1,3-thiazol-4-yl]-6-oxo-
1,6-dihydro-3-pyridinecarboxylate 727383-58-6P,
5-Amino-6-ethyl-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone

727383-65-5P, N-[2-Ethyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]acetamide 727383-66-6P, 4-Dimethylamino-6-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-68-8P, 6-Methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-5,6,7,8-tetrahydro-1H-[1,6]naphthyridin-2-one 727383-69-9P, 2-Methyl-6-oxo-N-(2-pyridinylmethyl)-5-[2-[2-[(2-pyridinyl)methyl]amino]-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxamide 727383-70-2P, 6-Methyl-3-[2-[2-[(2-pyridinylmethyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-2(1H)-pyridinone 727383-71-3P, Ethyl 2-methyl-6-oxo-5-[2-[2-[(2-pyridinylmethyl)amino]-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-72-4P, Ethyl 2-methyl-6-oxo-5-[2-[2-[(2-phenyloxy)ethyl]amino]-4-pyridinyl]-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-73-5P, 5-[2-[2-(ethoxy)-4-pyridinyl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 727383-75-7P, Ethyl 5-[2-(2-dimethylaminopyridin-4-yl)-1,3-thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate 727383-76-8P, Ethyl 5-[2-(2-methylaminopyridin-4-yl)-1,3-thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydro-3-pyridinecarboxylate hydrochloride 727383-79-1P, 2-Methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 727383-81-5P, 6-Methyl-5-[(4-methyl-1-piperazinyl)carbonyl]-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone 727383-82-6P, 2-(Pyrrolidin-1-yl)ethyl 2-methyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylate 727383-84-8P, 2-(Pyrrolidin-1-yl)ethyl 2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylate 727383-85-9P, 6-Ethyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-86-0P, 6-Isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-87-1P, 3-(Diethylamino)propyl 2-ethyl-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-88-2P, 3-(Diethylamino)propyl 2-(1-methylethyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate 727383-91-7P, 5-[(3,6-Dihydro-2H-pyridin-1-yl)methyl]-6-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-94-0P, 6-Ethyl-5-[(piperidin-1-yl)methyl]-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one hydrochloride 727383-96-2P, 6-Ethyl-5-(4-methylpiperazin-1-ylmethyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one hydrochloride 727383-98-4P, 6-Ethyl-5-isobutylamino-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-01-2P, N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridin-3-yl]isobutyramide 727384-03-4P, 6-Isopropyl-5-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-06-7P, 3-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-6-isopropyl-5-methyl-1H-pyridin-2-one 727384-08-9P, 6-Ethyl-5-propionyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-10-3P, 3-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-6-ethyl-5-propionyl-1H-pyridin-2-one 727384-11-4P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-dimethylaminoethyl ester 727384-13-6P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(pyrrolidin-1-yl)ethyl ester 727384-14-7P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(2-oxopyrrolidin-1-yl)ethyl ester 727384-15-8P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-diisopropylaminoethyl ester 727384-16-9P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-diethylaminoethyl ester 727384-17-0P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-methylpyrrolidin-3-yl ester 727384-18-1P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-ethylpyrrolidin-3-yl ester 727384-19-2P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-ethylpiperidin-3-yl ester 727384-20-5P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-

yl]-1,6-dihydropyridine-3-carboxylic acid piperidin-4-ylmethyl ester
 727384-22-7P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(1-methylpyrrolidin-2-yl)ethyl ester 727384-23-8P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-methylpiperidin-3-yl ester 727384-24-9P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-dimethylamino-1-methylethyl ester 727384-25-0P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-diethylamino-1-methylethyl ester 727384-26-1P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-[(benzyl)(methyl)amino]ethyl ester 727384-27-2P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 1-methylpiperidin-4-yl ester 727384-28-3P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(piperazin-1-yl)ethyl ester 727384-29-4P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(2-oxopyrrolidin-1-yl)propyl ester 727384-30-7P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid phenethyl ester 727384-32-9P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(thiophen-2-yl)ethyl ester 727384-33-0P, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 2-diethylaminoethyl ester 727384-36-3P, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 2-diethylamino-1-methylethyl ester 727384-37-4P, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 2-diethylaminopropyl ester 727384-38-5P, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 2-(1-methylpyrrolidin-2-yl)ethyl ester 727384-39-6P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(morpholin-4-yl)ethyl ester 727384-40-9P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid 2-(piperidin-1-yl)ethyl ester 727384-41-0P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid methyl ester 727384-42-1P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid methyl ester trifluoroacetate 727384-43-2P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid propyl ester 727384-44-3P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid propyl ester trifluoroacetate 727384-45-4P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid butyl ester 727384-46-5P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid butyl ester trifluoroacetate 727384-47-6P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid isobutyl ester 727384-48-7P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid isobutyl ester trifluoroacetate 727384-49-8P
 , 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid sec-butyl ester 727384-50-1P, 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridine-3-carboxylic acid sec-butyl ester trifluoroacetate 727384-55-6P, 5-(4,5-Dihydrooxazol-2-yl)-6-isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-56-7P, 6-Isopropyl-5-(5-methyl-4,5-dihydrooxazol-2-yl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-57-8P, 5-[[[2-Dimethylaminoethyl](ethyl)amino]methyl]-6-ethyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-59-0P, 5-[[[2-Diethylaminoethyl](methyl)amino]methyl]-6-ethyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-66-9P,

6-Oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-2-[2-(pyrrolidin-1-yl)ethyl]-1,6-dihydropyridine-3-carboxylic acid ethyl ester 727384-68-1P,
 2-Isopropyl-N-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxamide 727384-69-2P,
 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid amide 727384-70-5P,
 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid isobutylamide 727384-72-7P,
 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid methylamide 727384-73-8P,
 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid (2-isopropylaminoethyl)amide 727384-74-9P,
 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid dimethylamide 727384-75-0P,
 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid N-(pyridin-4-ylmethyl)amide 727384-76-1P,
 2-Isopropyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridine-3-carboxylic acid N-(pyridin-2-ylmethyl)amide 727384-78-3P,
 5-(Furan-2-yl)-6-isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-83-0P,
 N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-2-methylaminoacetamide 727384-84-1P,
 2-Dimethylamino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]acetamide 727384-85-2P,
 N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-3-(piperidin-1-yl)propionamide 727384-86-3P,
 N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-3-methylbutyramide 727384-87-4P,
 2-Amino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]acetamide 727384-88-5P,
 2-tert-Butylamino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]acetamide 727384-89-6P,
 (S)-2-Amino-N-[2-ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-3-methylbutyramide 727384-90-9P,
 N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-2-(piperidin-1-yl)acetamide 727384-92-1P,
 N-[2-Ethyl-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]-4-(piperidin-1-yl)butyramide 727384-93-2P,
 5-(1,1-Dioxidoisothiazolidin-2-yl)-6-ethyl-3-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-2(1H)-pyridinone 727384-94-3P,
 6-Ethyl-5-(3-methylbutylamino)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-95-4P,
 Ethyl 5-[2-[2-[(fur-2-ylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727384-96-5P,
 Ethyl 5-[2-[2-[(thien-2-yl)ethyl]amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727384-97-6P,
 Ethyl 5-[2-(2-butylaminopyridin-4-yl)thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727384-98-7P,
 Ethyl 5-[2-[2-[(carbamoylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727384-99-8P,
 Ethyl 5-[2-(2-acetylaminoethylamino)pyridin-4-yl]-1,3-thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727385-00-4P,
 5-[2-[2-[(Cyclopropylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid N-(cyclopropylmethyl)amide 727385-02-6P,
 Ethyl 5-[2-[2-[(cyclopropylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727385-03-7P,
 Ethyl 5-[2-[2-[(Cyclopentylmethyl)amino]pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727385-04-8P,
 5-[2-[2-(4-Methoxybenzylamino)pyridin-4-yl]thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 4-methoxybenzylamide 727385-06-0P,
 Ethyl 5-[2-(2-methylaminopyridin-4-yl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydropyridine-3-carboxylate 727385-07-1P,
 Ethyl 2-methyl-5-[2-[2-[(1-methylethyl)amino]ethyl]amino]-4-pyridinyl]-1,3-thiazol-4-yl]-6-oxo-1,6-dihydropyridine-3-carboxylate 727385-08-2P,
 Ethyl 2-isopropyl-6-oxo-5-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate hydrobromide (3/5)

(Cdk2/Cdk5 inhibitor; preparation of quinazolines as Cdk2 and Cdk5 kinase

inhibitors for treatment of cell proliferation-related disorders)

IT 727383-61-1P, Ethyl 2-ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylate
 727383-62-2P, 2-Ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydro-3-pyridinecarboxylic acid
 727383-63-3P, [2-Ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(4-pyridinyl)-1,3-thiazol-4-yl]-1,6-dihydropyridin-3-yl]carbamic acid tert-butyl ester 727383-64-4P, 5-Amino-6-ethyl-1-(4-methoxybenzyl)-3-[2-(4-pyridyl)-1,3-thiazol-4-yl]-1H-pyridin-2-one
 727383-90-6P, 5-[(Imidazol-1-yl)carbonyl]-6-methyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-92-8P, 6-Ethyl-5-hydroxymethyl-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-93-9P, 6-Ethyl-1-(4-methoxybenzyl)-5-[(piperidin-1-yl)methyl]-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727383-95-1P, 6-Ethyl-1-(4-methoxybenzyl)-5-[(4-methylpiperazin-1-yl)methyl]-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-00-1P, 6-Ethyl-5-isobutylamino-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-02-3P, N-[2-Ethyl-1-(4-methoxybenzyl)-6-oxo-5-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1,6-dihydro-pyridin-3-yl]isobutyramide 727384-12-5P, 5-[(Imidazol-1-yl)carbonyl]-6-isopropyl-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-21-6P 727384-34-1P, 5-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-2-isopropyl-6-oxo-1,6-dihydro-3-pyridinecarboxylic acid 727384-35-2P, 3-[2-(Benzenesulfonylmethyl)thiazol-4-yl]-5-[(imidazol-1-yl)carbonyl]-6-isopropyl-1H-pyridin-2-one 727384-58-9P, 5-[[2-(Dimethylaminoethyl)(ethyl)amino]methyl]-6-ethyl-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one 727384-60-3P, 5-[[2-(Diethylaminoethyl)(methyl)amino]methyl]-6-ethyl-1-(4-methoxybenzyl)-3-[2-(pyridin-4-yl)-1,3-thiazol-4-yl]-1H-pyridin-2-one (intermediate; preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

IT 727383-74-6, 5-[2-(2-Chloropyridin-4-yl)thiazol-4-yl]-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylic acid 727384-67-0 (preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

=> d bib abs hitstr 132 1-2 5-10

L32 ANSWER 1 OF 10 USPTAFULL on STN
 AN 2005:131933 USPTAFULL
 TI Imidazo[1,2-a]pyridine derivative
 IN Takemura, Makoto, Edogawa-ku, JAPAN
 Takahashi, Hisashi, Edogawa-ku, JAPAN
 Kawakami, Katsuhiro, Edogawa-ku, JAPAN
 Takeshita, Hiroshi, Edogawa-ku, JAPAN
 Kimura, Youichi, Edogawa-ku, JAPAN
 Watanabe, Jun, Edogawa-ku, JAPAN
 Sugimoto, Yuichi, Edogawa-ku, JAPAN
 Kitamura, Akihiro, Edogawa-ku, JAPAN
 Nakajima, Ryohei, Edogawa-ku, JAPAN
 Kanai, Kazuo, Edogawa-kun, JAPAN
 Fujisawa, Tetsunori, Takaoka-shi, JAPAN
 PI US2005113397 A1 20050526
 AI 2003US-0502971 A1 20030130 (10)
 2003WO-JP00912 20030130
 PRAI 2002JP-0022767 20020131 <--
 DT Utility
 FS APPLICATION
 LREP SUGHRUE MION, PLLC, 2100 PENNSYLVANIA AVENUE, N.W., SUITE 800,
 WASHINGTON, DC, 20037, US
 CLMN Number of Claims: 10
 ECL Exemplary Claim: 1
 DRWN No Drawings

LN.CNT 9053

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound represented by the following formula (I), its salts or
nsolvates thereof capable of specifically or selectively expressig an
antifungal activity in a broad spectrum based on the novel mechanism
thereof of 1,6- β -glucan synthesis inhibition, and an antifungal
agent containing any of them. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

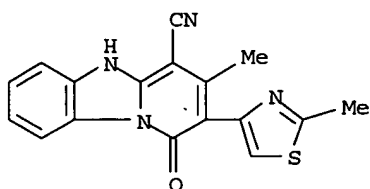
IT 577776-44-4P 577776-48-8P 577776-51-3P

577777-06-1P

(preparation of imidazo[1,2-a]pyridine derivs. as antifungal agents with
specific or selective 1,6- β -glucan)

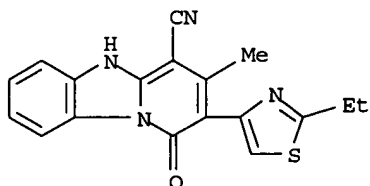
RN 577776-44-4 USPATFULL

CN Pyrido[1,2-a]benzimidazole-4-carbonitrile, 1,5-dihydro-3-methyl-2-(2-
methyl-4-thiazolyl)-1-oxo- (9CI) (CA INDEX NAME)



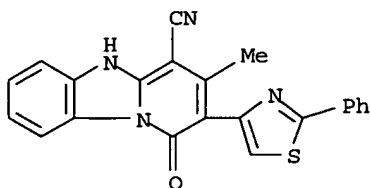
RN 577776-48-8 USPATFULL

CN Pyrido[1,2-a]benzimidazole-4-carbonitrile, 2-(2-ethyl-4-thiazolyl)-1,5-
dihydro-3-methyl-1-oxo- (9CI) (CA INDEX NAME)



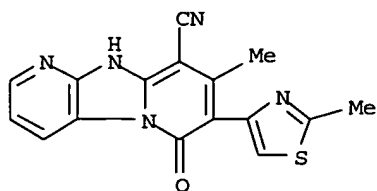
RN 577776-51-3 USPATFULL

CN Pyrido[1,2-a]benzimidazole-4-carbonitrile, 1,5-dihydro-3-methyl-1-oxo-2-(2-
phenyl-4-thiazolyl)- (9CI) (CA INDEX NAME)



RN 577777-06-1 USPATFULL

CN Dipyrido[1,2-a:2',3'-d]imidazole-9-carbonitrile, 1,6-dihydro-8-methyl-7-(2-
methyl-4-thiazolyl)-6-oxo- (9CI) (CA INDEX NAME)



L32 ANSWER 2 OF 10 USPATFULL on STN

AN 2005:57357 USPATFULL

TI Quinolinone derivatives as inhibitors of c-fms kinase

IN Wall, Mark J., Harleysville, PA, UNITED STATES

Player, Mark R., Phoenixville, PA, UNITED STATES

Patch, Raymond Joseph, Yardley, PA, UNITED STATES

Meegalla, Sanath, Boothwyn, PA, UNITED STATES

Liu, Jian, Plainsboro, NJ, UNITED STATES

Illig, Carl R., Phoenixville, PA, UNITED STATES

Cheung, Wing, Plainsboro, NJ, UNITED STATES

Chen, Jinsheng, Exton, PA, UNITED STATES

Asgari, Davoud, Newtown, PA, UNITED STATES

PI US2005049274 A1 20050303

AI 2004US-0894940 A1 20040720 (10)

PRAI 2003US-488811P 20030722 (60)

DT Utility

FS APPLICATION

LREP PHILIP S. JOHNSON, JOHNSON & JOHNSON, ONE JOHNSON & JOHNSON PLAZA, NEW BRUNSWICK, NJ, 08933-7003

CLMN Number of Claims: 15

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 3251

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention is directed to compounds of Formulae I and II: ##STR1##

wherein R.sup.1, R.sup.2, R.sup.3, R.sup.5, R.sup.6, Y.sup.1, Y.sup.2, Y.sup.3, Y.sup.4 and X are set forth in the specification, as well as solvates, hydrates, tautomers or pharmaceutically acceptable salts thereof, that inhibit protein tyrosine kinases, especially c-fms kinase.

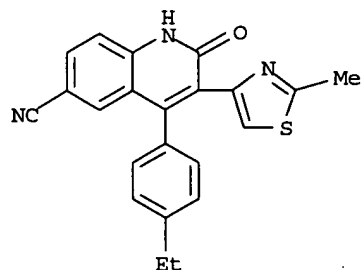
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 835880-69-8P

(preparation of quinolinones as inhibitors of c-fms kinase)

RN 835880-69-8 USPATFULL

CN 6-Quinolinecarbonitrile, 4-(4-ethylphenyl)-1,2-dihydro-3-(2-methyl-4-thiazolyl)-2-oxo- (9CI) (CA INDEX NAME)



L32 ANSWER 5 OF 10 USPATFULL on STN

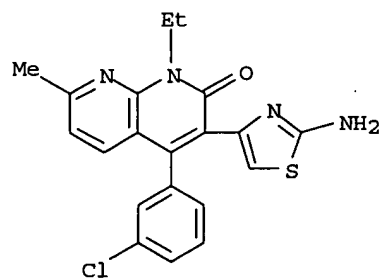
AN 2004:129634 USPATFULL
 TI Naphthyridine derivatives
 IN Iwata, Masahiro, Tsukuba, JAPAN
 Kawano, Noriyuki, Tsukuba, JAPAN
 Takuwa, Tomofumi, Tsukuba, JAPAN
 Shiraki, Ryota, Tsukuba, JAPAN
 Kobayashi, Miki, Tsukuba, JAPAN
 Takeuchi, Makoto, Tsukui, JAPAN
 PA Yamanouchi Pharmaceutical Co., Ltd., Tokyo, JAPAN (non-U.S. corporation)
 PI US---6740662 B1 20040525
 WO2001030779 20010503 <--
 AI 2002US-0111077 20020419 (10) <--
 2000WO-JP07433 20001024 <--
 PRAI 1999JP-0302544 19991025 <--
 DT Utility
 FS GRANTED
 EXNAM Primary Examiner: Dentz, Bernard
 LREP Sughrue Mion, PLLC
 CLMN Number of Claims: 8
 ECL Exemplary Claim: 1
 DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
 LN.CNT 2015

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

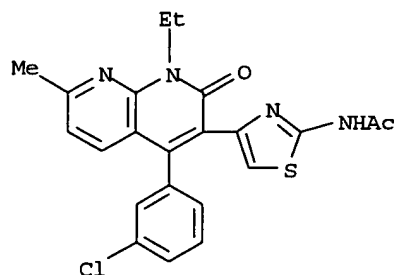
AB 2-Oxo-1,2-dihydro-1,8-naphthyridine derivatives characterized by bearing a specific substituent, --X--R.sup.6, at the 3-position and a cyclic substituent, R.sup.5, at the 4-position; or salts thereof. The derivatives and the salts are useful as drugs, particularly preventive or therapeutic agents for respiratory diseases related to PDE IV.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 337358-29-9P
 (preparation of naphthyridine derivs. as phosphodiesterase IV inhibitors)
 RN 337358-29-9 USPATFULL
 CN 1,8-Naphthyridin-2(1H)-one, 3-(2-amino-4-thiazolyl)-4-(3-chlorophenyl)-1-ethyl-7-methyl- (9CI) (CA INDEX NAME)



IT 337358-74-4P
 (preparation of naphthyridine derivs. as phosphodiesterase IV inhibitors)
 RN 337358-74-4 USPATFULL
 CN Acetamide, N-[4-[4-(3-chlorophenyl)-1-ethyl-1,2-dihydro-7-methyl-2-oxo-1,8-naphthyridin-3-yl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



L32 ANSWER 6 OF 10 USPATFULL on STN

AN 2003:79334 USPATFULL

TI Carboxylic acid derivatives, medicaments comprising these compounds, their use and processes for their production

IN Priepke, Henning, Warthausen, GERMANY, FEDERAL REPUBLIC OF
Kauffmann-Hefner, Iris, Attenweiler, GERMANY, FEDERAL REPUBLIC OF
Hauel, Norbert, Schemmerhofen, GERMANY, FEDERAL REPUBLIC OF
Damm, Klaus, Biberach, GERMANY, FEDERAL REPUBLIC OF
Schnapp, Andreas, Biberach, GERMANY, FEDERAL REPUBLIC OF

PA Boehringer Ingelheim Pharma KG, Ingelheim, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

PI US2003055263 A1 20030320

AI 2002US-0192456 A1 20020710 (10) <--

PRAI DE 2001-10133665 20010711 <--
2001US-307449P 20010724 (60) <--

DT Utility

FS APPLICATION

LREP BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY ROAD, P. O. BOX 368, RIDGEFIELD, CT, 06877

CLMN Number of Claims: 10

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 2028

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present application relates to the use of the carboxylic acid derivatives of general formula

R.sub.1--A--B--R.sub.2 (I)

wherein

R.sub.1, R.sub.2, A and B are defined as in claim 1, the isomers and the salts thereof, particularly the physiologically acceptable salts thereof, which have an inhibitory effect on telomerase, processes for the preparation thereof, pharmaceutical compositions containing these compounds and the use thereof as well as the preparation thereof.

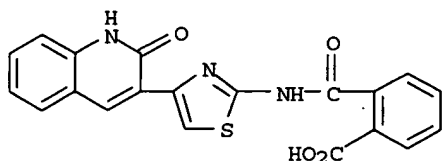
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 488816-08-6P

(drug candidate; preparation of thiazols and related compds. as telomerase inhibitors)

RN 488816-08-6 USPATFULL

CN Benzoic acid, 2-[[[4-(1,2-dihydro-2-oxo-3-quinolinyl)-2-thiazolyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



L32 ANSWER 7 OF 10 USPATFULL on STN
 AN 2002:33452 USPATFULL
 TI Superoxide radical inhibitor
 IN Chihiro, Masatoshi, Naruto, JAPAN
 Komatsu, Hajime, Tokyo, JAPAN
 Tominaga, Michiaki, Itano-Gun, JAPAN
 Yabuuchi, Yoichi, Tokushima, JAPAN
 PA Otsuka Pharmaceutical Co., Ltd., Tokyo, JAPAN (non-U.S. corporation)
 PI US-----37556 E1 20020219 <--
 US---5643932 19970701 (Original)
 AI 1999US-0245914 19990208 (9) <--
 1995US-0444728 19950519 (Original) <--
 RLI Continuation of Ser. No. US 916082, now abandoned
 PRAI 1990JP-0337727 19901130 <--
 DT Reissue
 FS GRANTED
 EXNAM Primary Examiner: Gerstl, Robert
 LREP Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.
 CLMN Number of Claims: 7
 ECL Exemplary Claim: 1
 DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
 LN.CNT 6449
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB A superoxide radical inhibitor containing, as an effective ingredient,
 an azole derivative represented by the general formula (1), ##STR1##

[wherein R.sup.1 represents a phenyl group which may have 1-3 lower alkoxy groups as substituent(s) on the phenyl ring, a phenyl group having a lower alkylendioxy group, or the like; R.sup.2 represents a hydrogen atom, a phenyl group, a halogen atom, a lower alkoxy carbonyl group, a lower alkyl group, an amino-lower alkyl group which may have a lower alkyl group as a substituent, a dihydrocarbostyryl group, or the like; R.sup.3 represents a group of the formula, ##STR2##

(R.sup.4B represents a hydroxyl group, a carboxy group, a lower alkenyl group or a lower alkyl group, m represents 0, 1 or 2); X represents a sulfur atom or an oxygen atom] or a salt thereof.

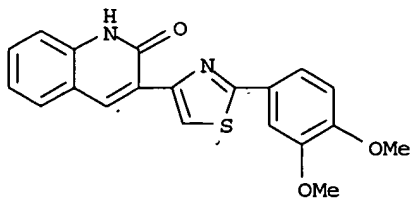
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 145737-12-8P

(preparation of, as active oxygen inhibitor)

RN 145737-12-8 USPATFULL

CN 2(1H)-Quinolinone, 3-[2-(3,4-dimethoxyphenyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



L32 ANSWER 8 OF 10 USPATFULL on STN
 AN 2000:80772 USPATFULL
 TI Superoxide radical inhibitor
 IN Chihiro, Masatoshi, Naruto, Japan
 Komatsu, Hajime, Tokushima, Japan
 Tominaga, Michiaki, Tokushima, Japan
 Yabuuchi, Yoichi, Tokushima, Japan
 PA Otsuka Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)
 PI US---6080764 20000627 <--
 AI 1997US-0826343 19970325 (8) <--
 RLI Division of Ser. No. 1995US-0482657, filed on 7 Jun 1995 which is a
 division of Ser. No. 1995US-0444728, filed on 19 May 1995 which is a
 continuation of Ser. No. US 916082
 PRAI 1990JP-3377727 19901130 <--
 DT Utility
 FS Granted
 EXNAM Primary Examiner: Gerstl, Robert
 LREP Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.
 CLMN Number of Claims: 10
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 7154

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A superoxide radical inhibitor containing, as an effective ingredient,
 an azole derivative represented by the general formula (1), ##STR1##
 [wherein R.sup.1 represents a phenyl group which may have 1-3 lower
 alkoxy groups as substituent(s) on the phenyl ring, a phenyl group
 having a lower alkylenedioxy group, or the like; R.sup.2 represents a
 hydrogen atom, a phenyl group, a halogen atom, a lower alkoxy carbonyl
 group, a lower alkyl group, an amino-lower alkyl group which may have a
 lower alkyl group as a substituent, a dihydrocarbostyryl group, or the
 like; R.sup.3 represents a group of the formula, ##STR2## (R.sup.4B
 represents a hydroxyl group, a carboxy group, a lower alkenyl group or a
 lower alkyl group. m represents 0, 1 or 2); X represents a sulfur atom
 or an oxygen atom] or a salt thereof.

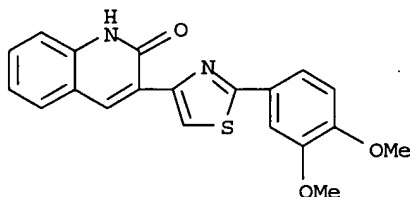
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 145737-12-8P

(preparation of, as active oxygen inhibitor)

RN 145737-12-8 USPATFULL

CN 2(1H)-Quinolinone, 3-[2-(3,4-dimethoxyphenyl)-4-thiazolyl]- (9CI) (CA
 INDEX NAME)



L32 ANSWER 9 OF 10 USPATFULL on STN
 AN 97:94251 USPATFULL
 TI Superoxide radical inhibitor
 IN Chihiro, Masatoshi, Naruto, Japan
 Komatsu, Hajime, Itano-gun, Japan
 Tominaga, Michiaki, Itano-gun, Japan
 Yabuuchi, Yoichi, Tokushima, Japan
 PA Otsuka Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)
 PI US---5677319 19971014 <--
 AI 1995US-0482657 19950607 (8) <--

RLI Division of Ser. No. 1995US-0444728, filed on 19 May 1995 which is a continuation of Ser. No. 1992US-0916082, filed on 29 Jul 1992, now abandoned

PRAI 1990JP-0337727 19901130 <--

DT Utility

FS Granted

EXNAM Primary Examiner: Gerstl, Robert

LREP Finnegan, Henderson, Farabow, Garrett & Dunner

CLMN Number of Claims: 22

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 6751

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A superoxide radical inhibitor containing, as an effective ingredient, an azole derivative represented by the general formula (1), ##STR1## [wherein R.sup.1 represents a phenyl group which may have 1-3 lower alkoxy groups as substituent(s) on the phenyl ring, a phenyl group having a lower alkylenedioxy group, or the like; R.sup.2 represents a hydrogen atom, a phenyl group, a halogen atom, a lower alkoxycarbonyl group, a lower alkyl group, an amino-lower alkyl group which may have a lower alkyl group as a substituent, a dihydrocarbostyryl group, or the like; R.sup.3 represents a group of the formula, ##STR2## (R.sup.4B represents a hydroxyl group, a carboxy group, a lower alkenyl group or a lower alkyl group. m represents 0, 1 or 2); X represents a sulfur atom or an oxygen atom] or a salt thereof.

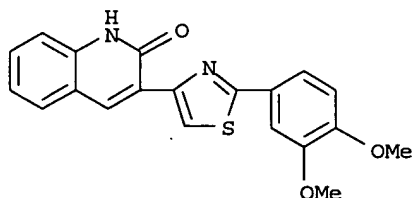
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 145737-12-8P

(preparation of, as active oxygen inhibitor)

RN 145737-12-8 USPATFULL

CN 2(1H)-Quinolinone, 3-[2-(3,4-dimethoxyphenyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



L32 ANSWER 10 OF 10 USPATFULL on STN

AN 97:56698 USPATFULL

TI Superoxide radical inhibitor

IN Chihiro, Masatoshi, Naruto, Japan

Komatsu, Hajime, Itano-gun, Japan

Tominaga, Michiaki, Itano-gun, Japan

Yabuuchi, Yoichi, Tokushima, Japan

PA Otsuka Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)

PI US---5643932 19970701 <--

AI 1995US-0444728 19950519 (8) <--

RLI Continuation of Ser. No. 1992US-0916082, filed on 29 Jul 1992, now abandoned

PRAI 1990JP-0337727 19901130 <--

DT Utility

FS Granted

EXNAM Primary Examiner: Gerstl, Robert

LREP Finnegan, Henderson, Farabow, Garrett & Dunner

CLMN Number of Claims: 11

ECL Exemplary Claim: 9

DRWN No Drawings

LN.CNT 6708

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A superoxide radical inhibitor containing, as an effective ingredient, anazole derivative represented by the general formula (1), ##STR1## [wherein R.sup.1 represents a phenyl group which may have 1-3 lower alkoxy groups as substituent(s) on the phenyl ring, a phenyl group having a lower alkylendioxy group, or the like; R.sup.2 represents a hydrogen atom, a phenyl group, a halogen atom, a lower alkoxy carbonyl group, a lower alkyl group, an amino-lower alkyl group which may have a lower alkyl group as a substituent, a dihydrocarbostyril group, or the like; R.sup.3 represents a group of the formula, ##STR2## (R.sup.4B represents a hydroxyl group, a carboxy group, a lower alkenyl group or a lower alkyl group. m represents 0, 1 or 2); X represents a sulfur atom or an oxygen atom] or a salt thereof.

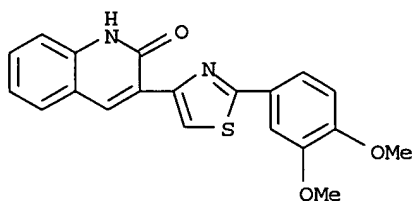
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 145737-12-8P

(preparation of, as active oxygen inhibitor)

RN 145737-12-8 USPATFULL

CN 2(1H)-Quinolinone, 3-[2-(3,4-dimethoxyphenyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 08:52:57 ON 15 AUG 2006)

FILE 'HCAPLUS' ENTERED AT 08:59:25 ON 15 AUG 2006

L1	1	US2004147561/PN OR (US2003-736289 OR US2002-436787# OR US2006-3
		E ZHONG W/AU
L2	302	E3-14
		E ZHONG WEN/AU
L3	10	E3
		E ZHONG WENGE/AU
L4	17	E3
		E NORMAN M/AU
L5	39	E3, E10
		E NORMAN MARK/AU
L6	85	E3, E7-8
		E KALLER M/AU
L7	9	E4, E6-8
		E NGUYEN T/AU
L8	1055	E3-60
		E RZASA R/AU
L9	21	E4-7
		E RZASA B/AU
L10	1	E3
		E TEGLEY C/AU
L11	51	E4-7
		E WANG H/AU
L12	1995	E3, E24
		E WANG HUI/AU
L13	1715	E3, E52-54
		E WANG HUILING/AU
L14	67	E2-3

E HUI N/AU
E HUIING N/AU
E HUILING N/AU
E WENGE N/AU

FILE 'REGISTRY' ENTERED AT 09:07:36 ON 15 AUG 2006

FILE 'HCAPLUS' ENTERED AT 09:07:37 ON 15 AUG 2006

L15 TRA L1 1- RN : 403 TERMS

FILE 'REGISTRY' ENTERED AT 09:07:37 ON 15 AUG 2006

L16 403 SEA L15
L17 403 S L15
L18 283 S L17 AND NC5/ES.
L19 211 L18 AND (NCSC2 OR NSCNC)/ES
L20 STR
L21 STR L20
L22 26 L21
L23 453 L21 FULL
SAV TEM L23 DAV289FO/A
L24 208 L23 AND L16

FILE 'HCAPLUS' ENTERED AT 09:18:38 ON 15 AUG 2006

L25 14 L23
L26 2 L25 AND L1-14
L27 12 L25 NOT L26
L28 10 L27 AND (PY<=2002 OR AY<=2002 OR PRY<=2002)

FILE 'HCAOLD' ENTERED AT 09:19:16 ON 15 AUG 2006

L29 0 L23

FILE 'USPATFULL, USPAT2' ENTERED AT 09:19:24 ON 15 AUG 2006

L30 10 L23
L31 9 L30 AND (PY<=2002 OR AY<=2002 OR PRY<=2002)
L32 10 L30-31

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